

FIG. 1A

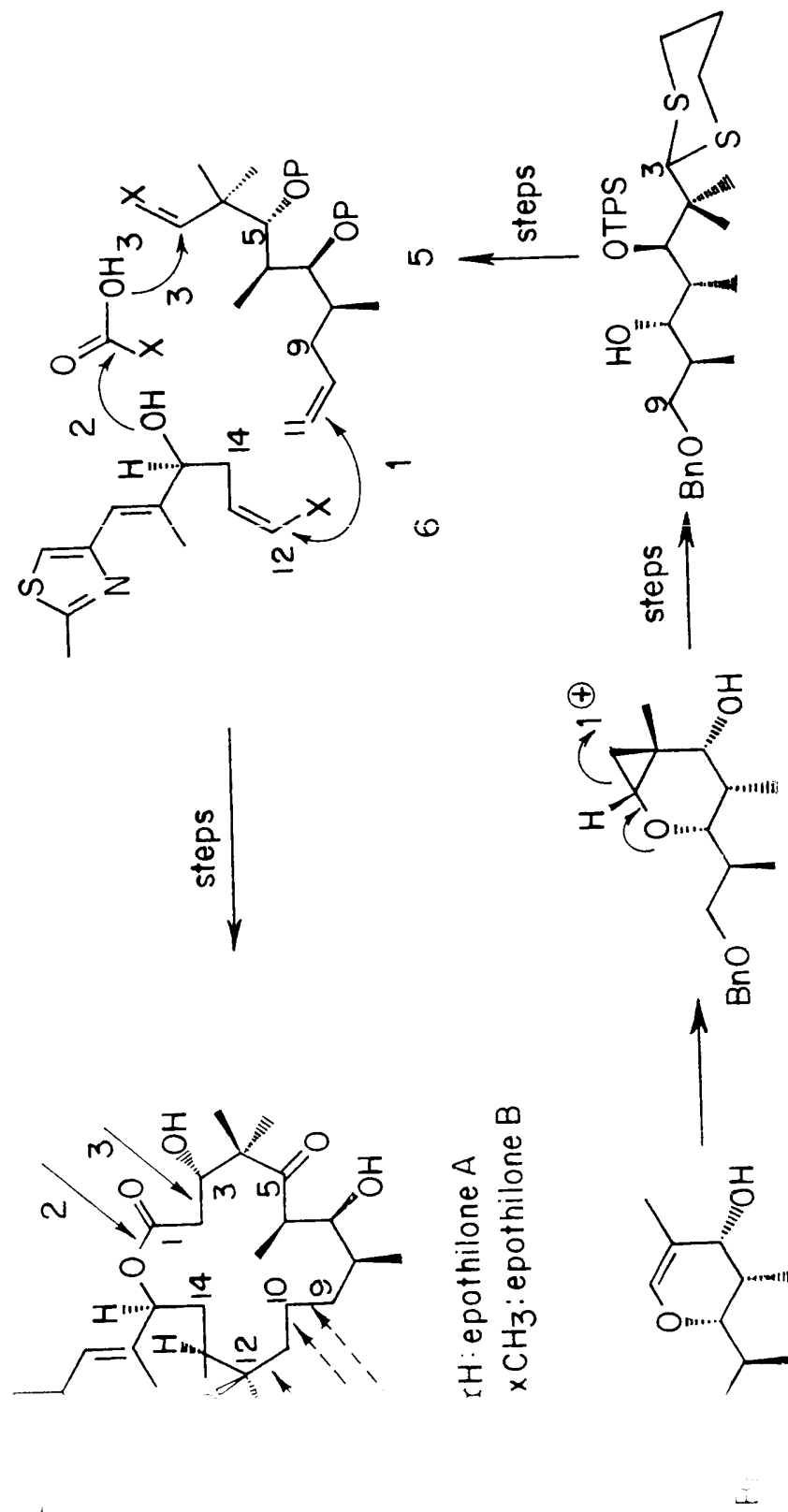


FIG. 1B

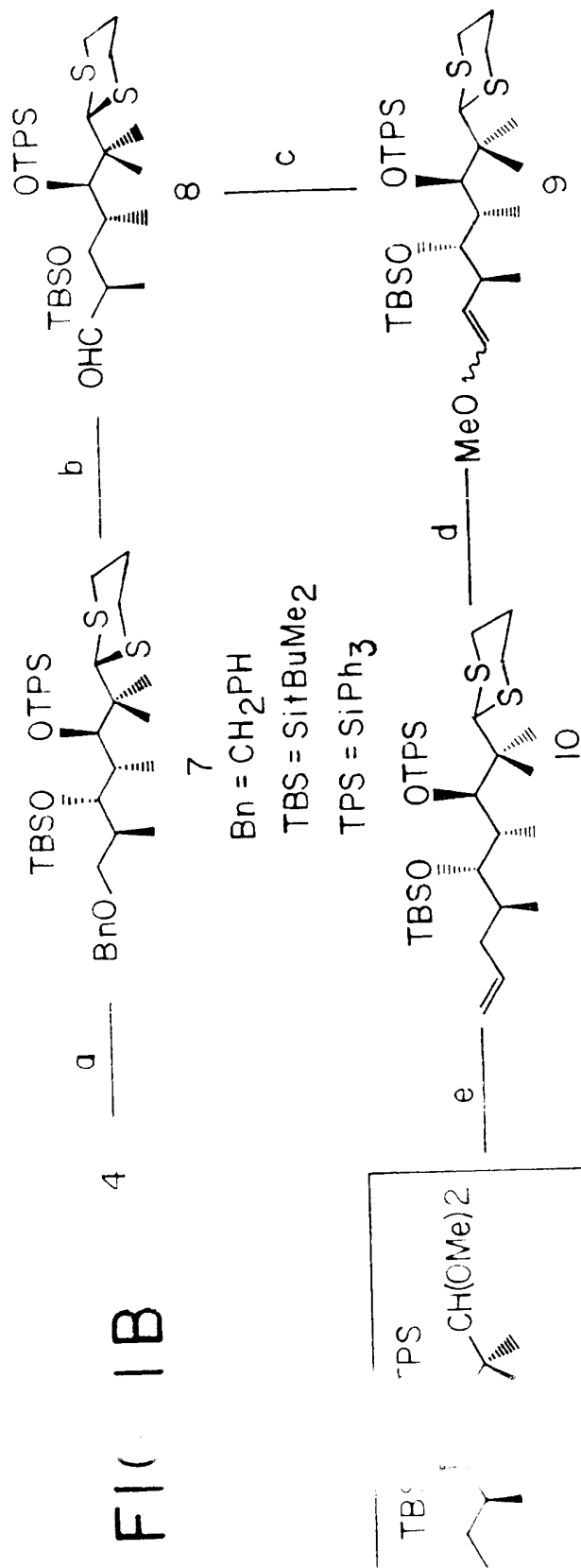


FIG. 12B

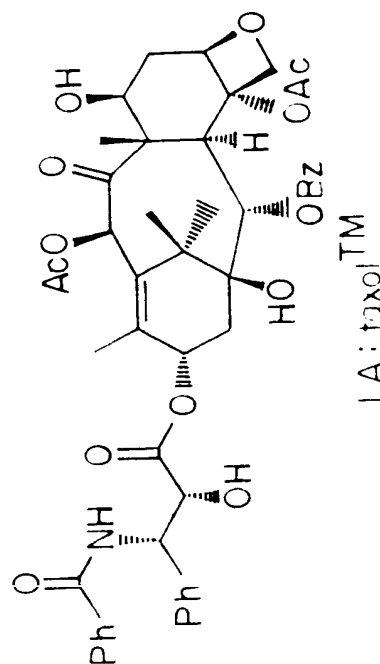
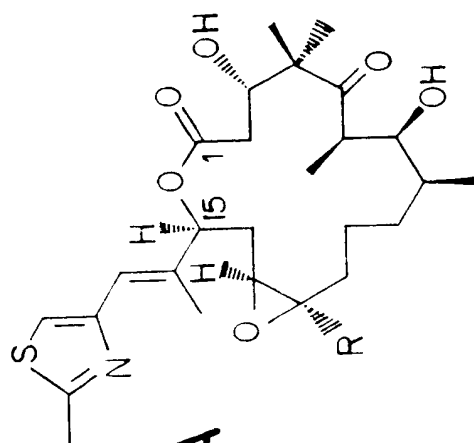


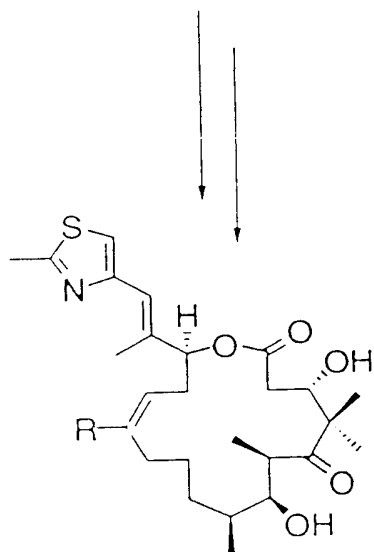
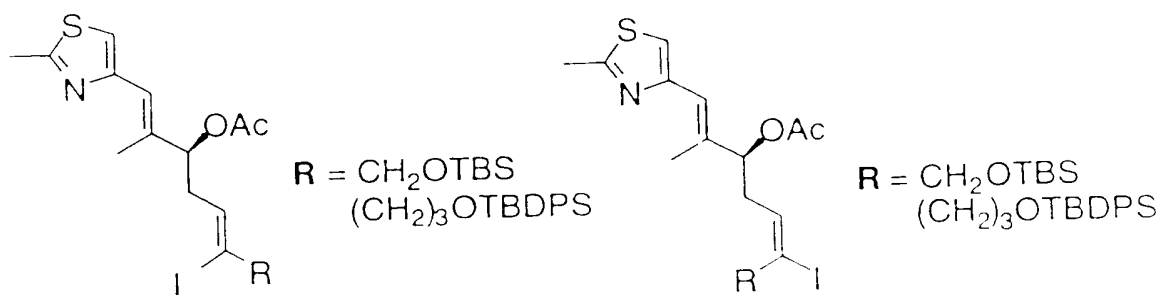
FIG. 2A



R = H: epothilone A
 R = CH_3 : epothilone B

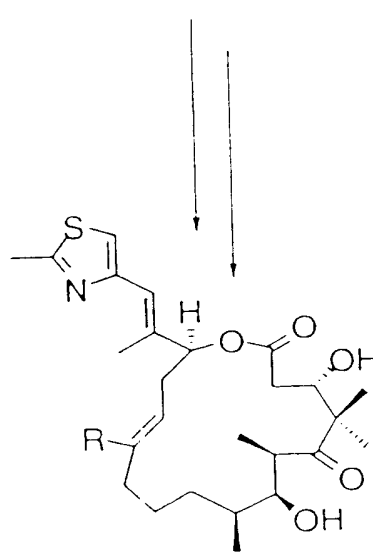
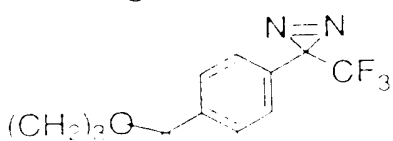
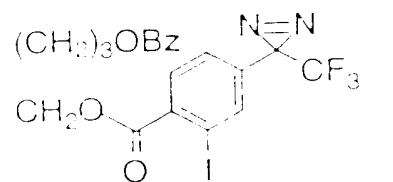
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FIG. 2



$R = \text{CH}_2\text{OH}$

$(\text{CH}_2)_3\text{OH}$



$R = \text{CH}_2\text{OH}$
 $(\text{CH}_2)_3\text{OH}$

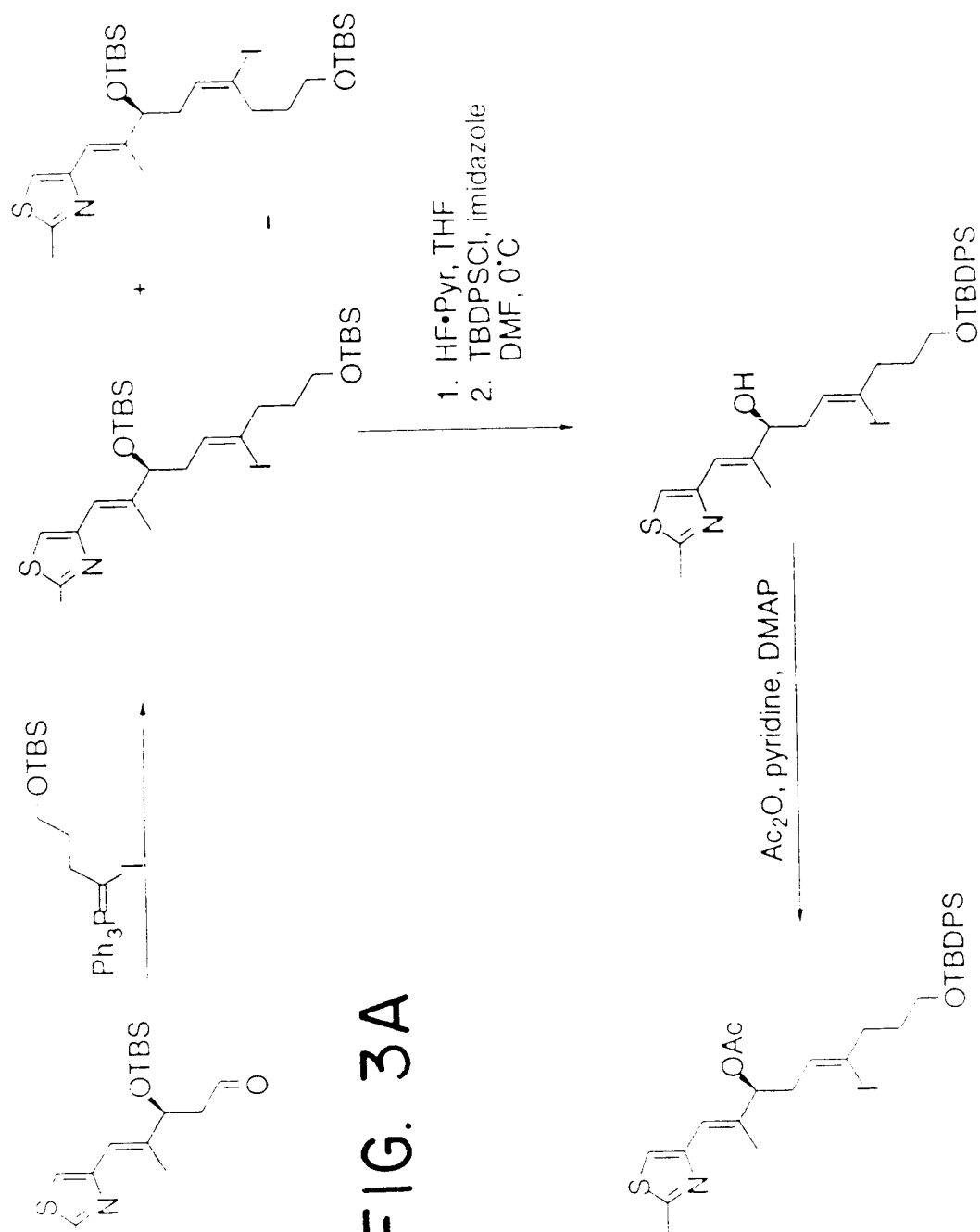
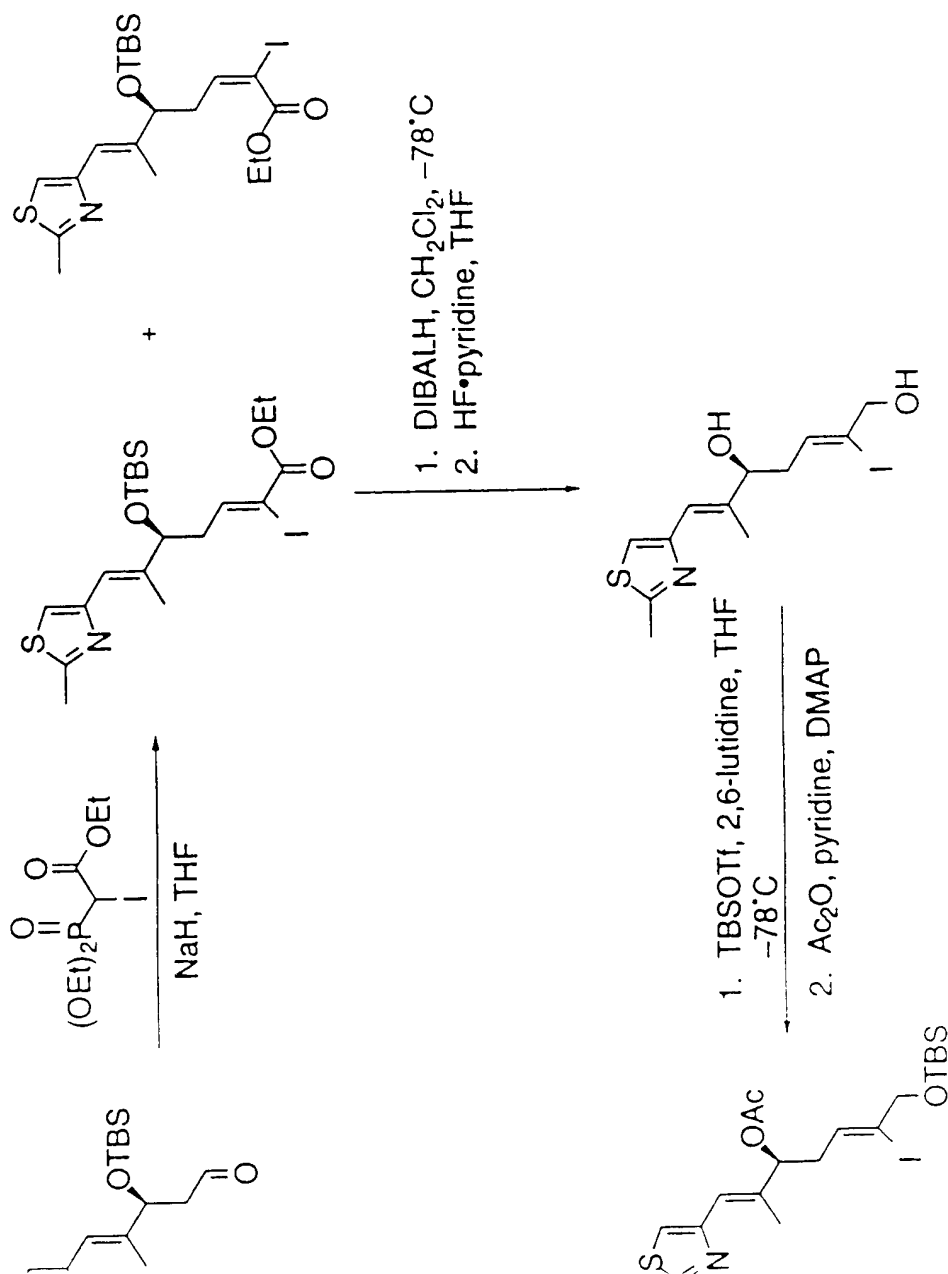


FIG. 3B



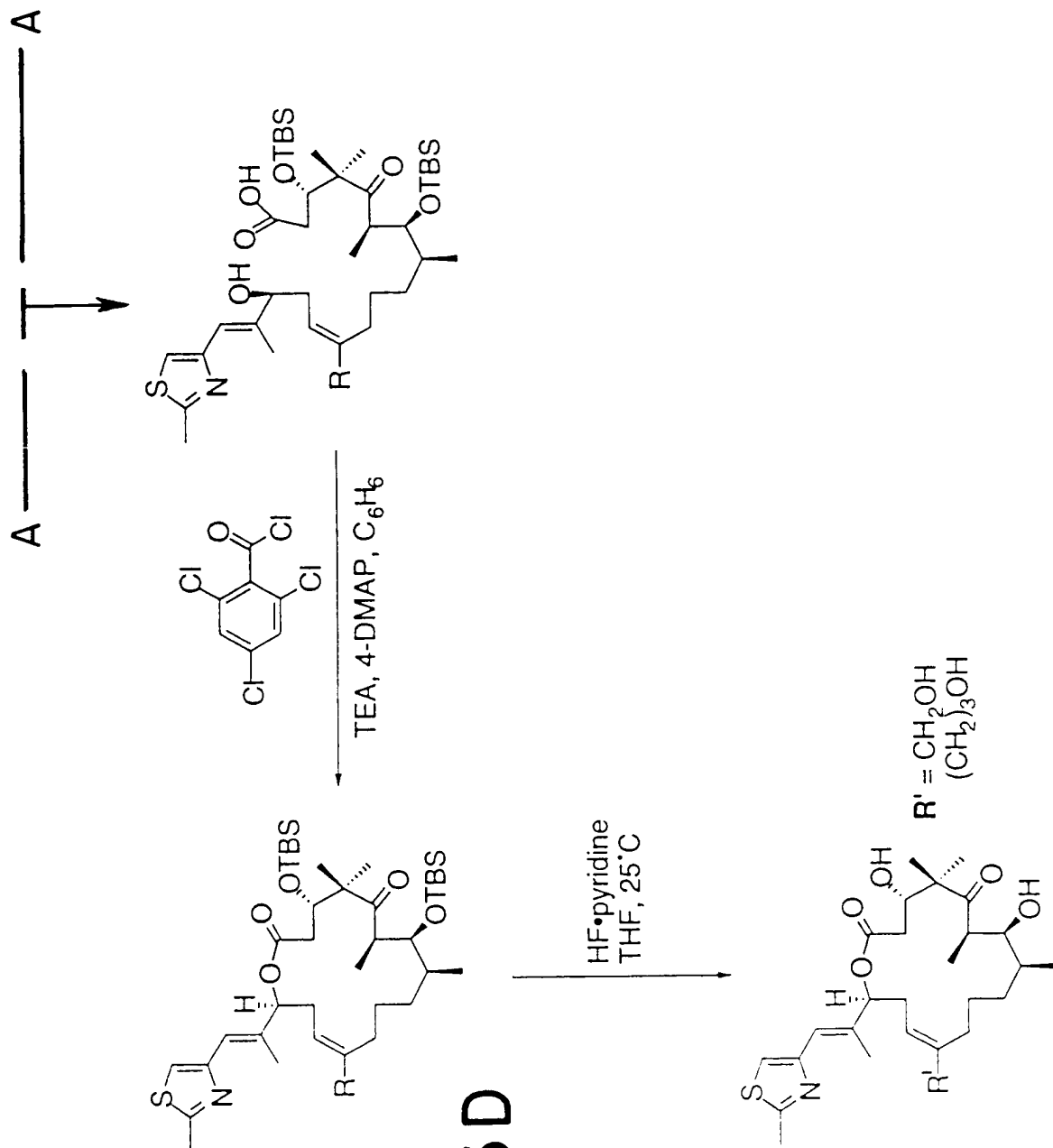


FIG. 3E

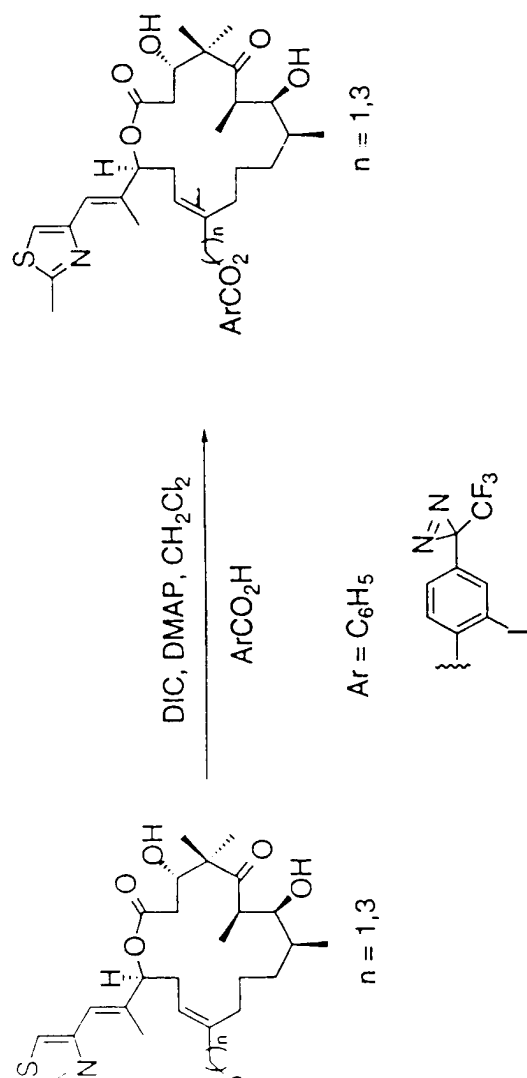


FIG. 3F

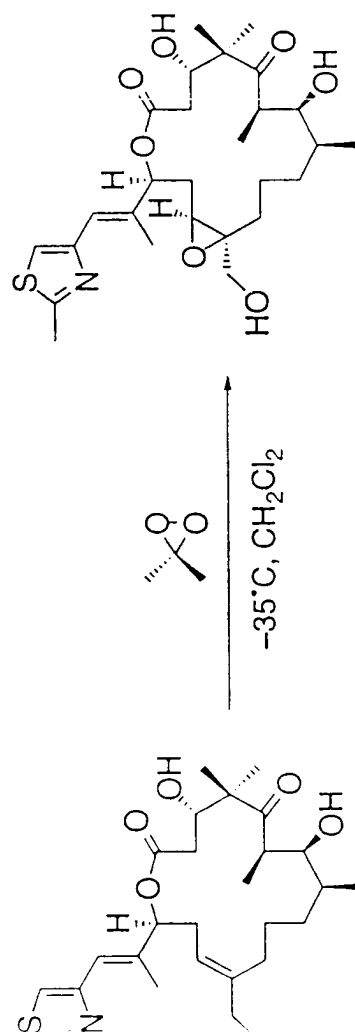


FIG. 4A

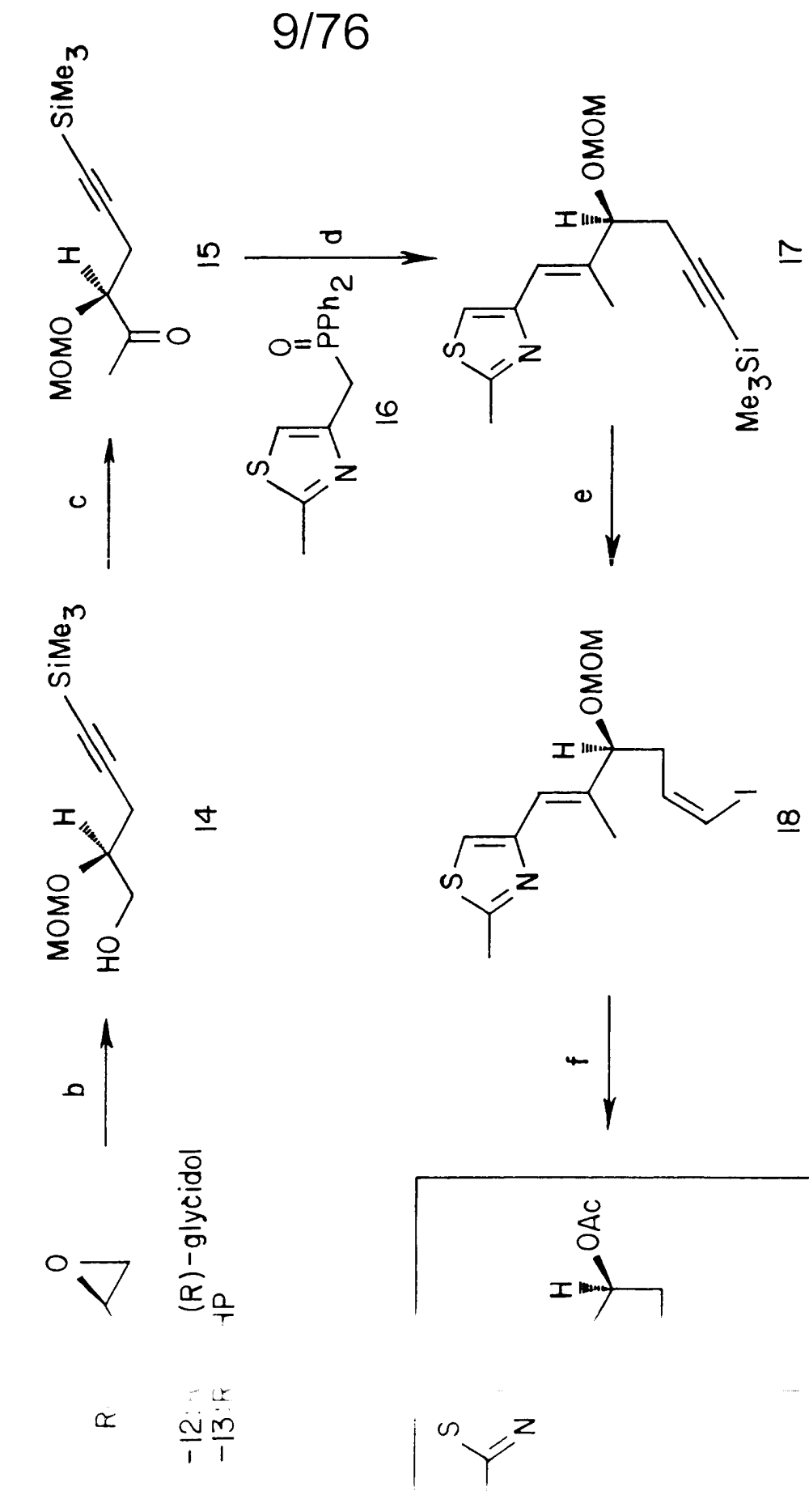


FIG. 4B

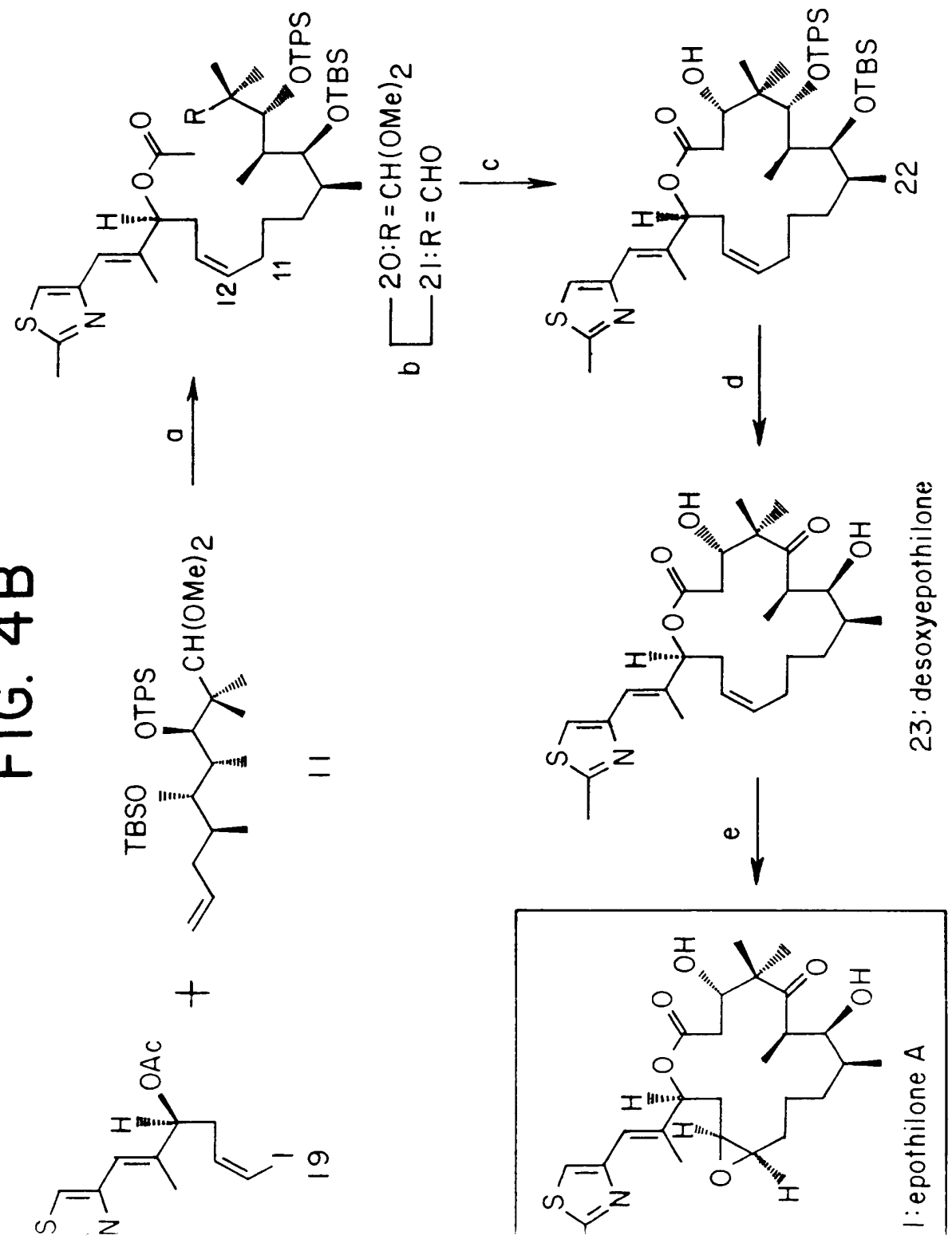


FIG. 5

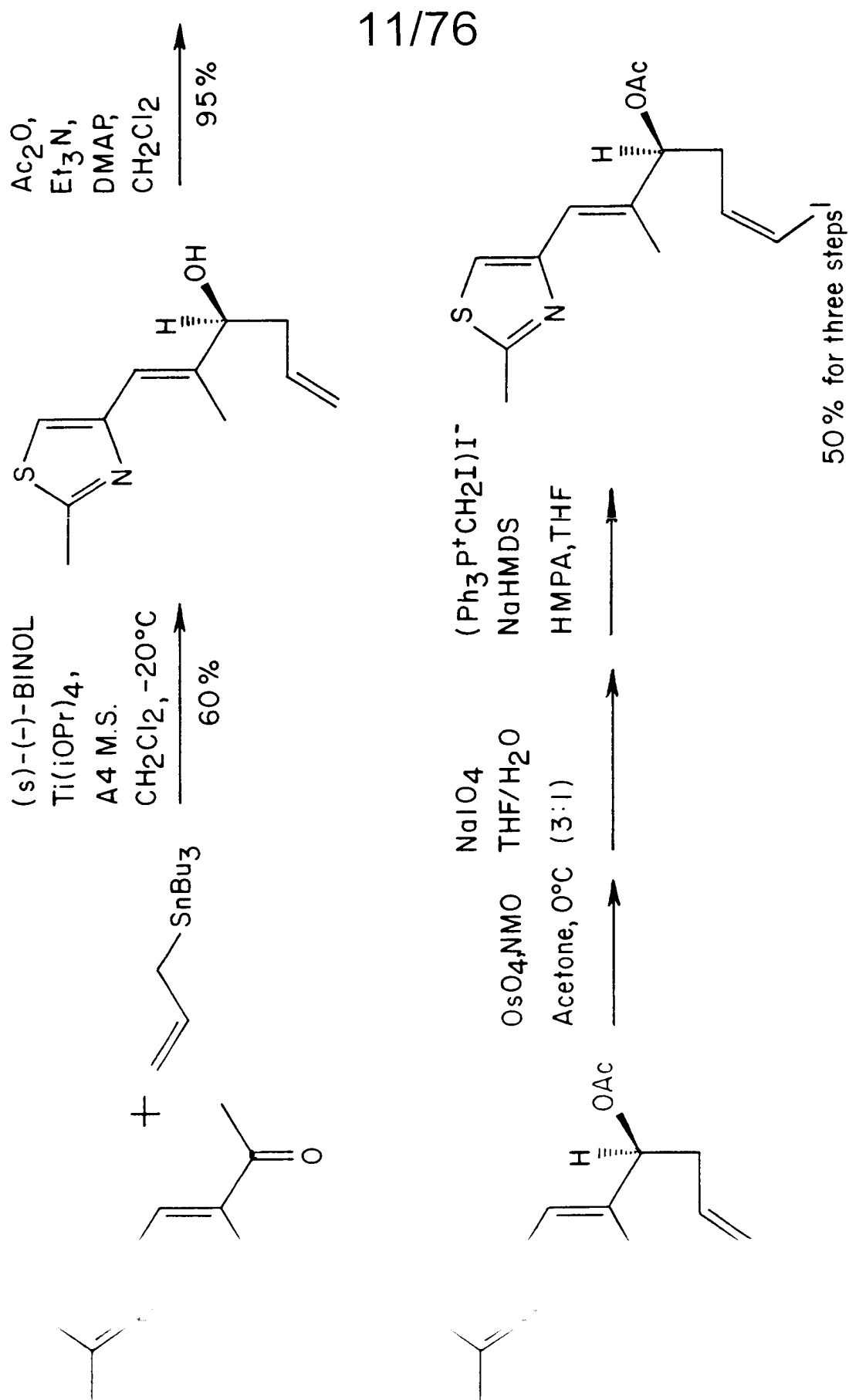
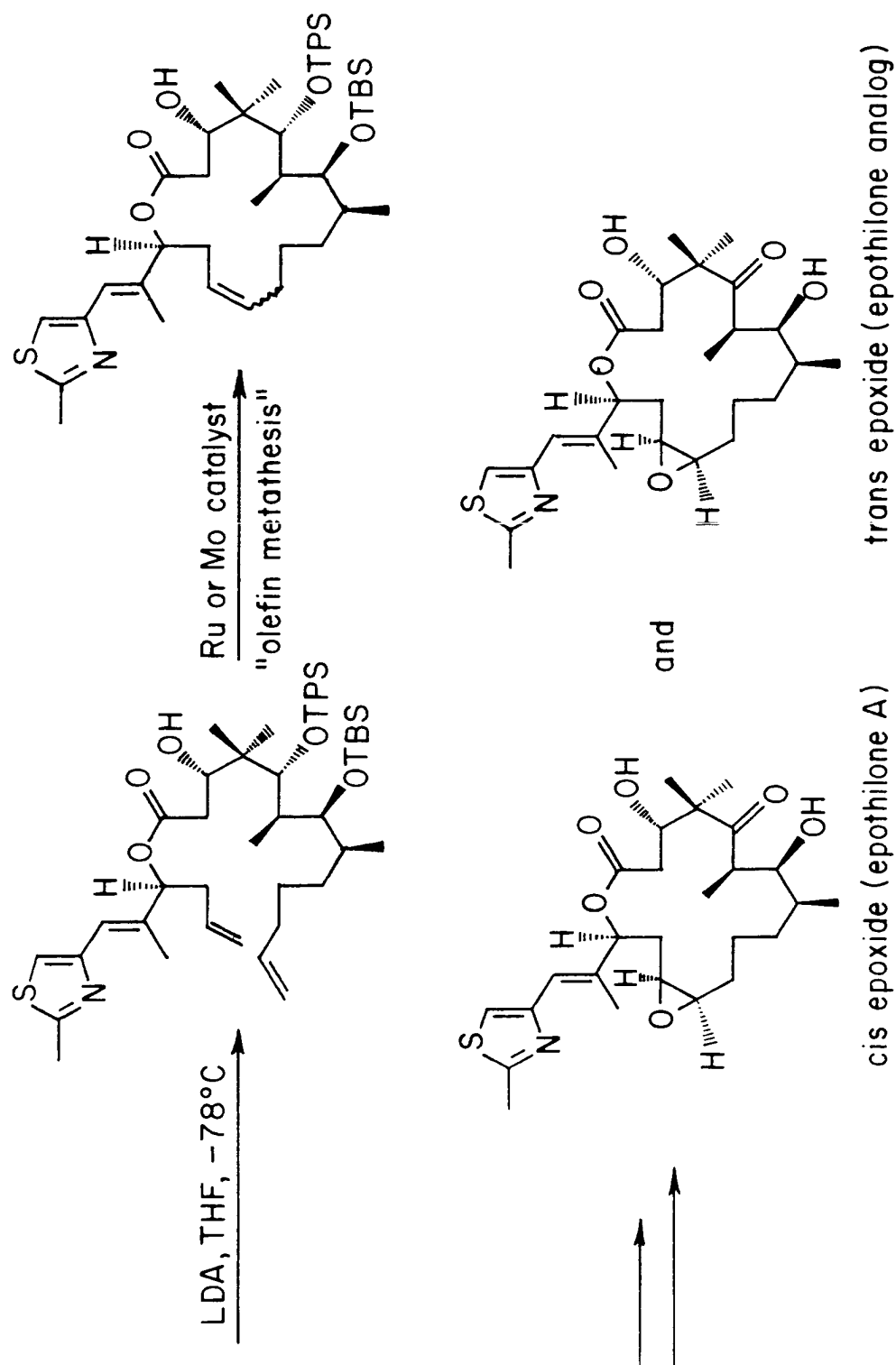


FIG. 6B



*17 steps from known starting materials vs. 27 steps for aldol macrocyclization

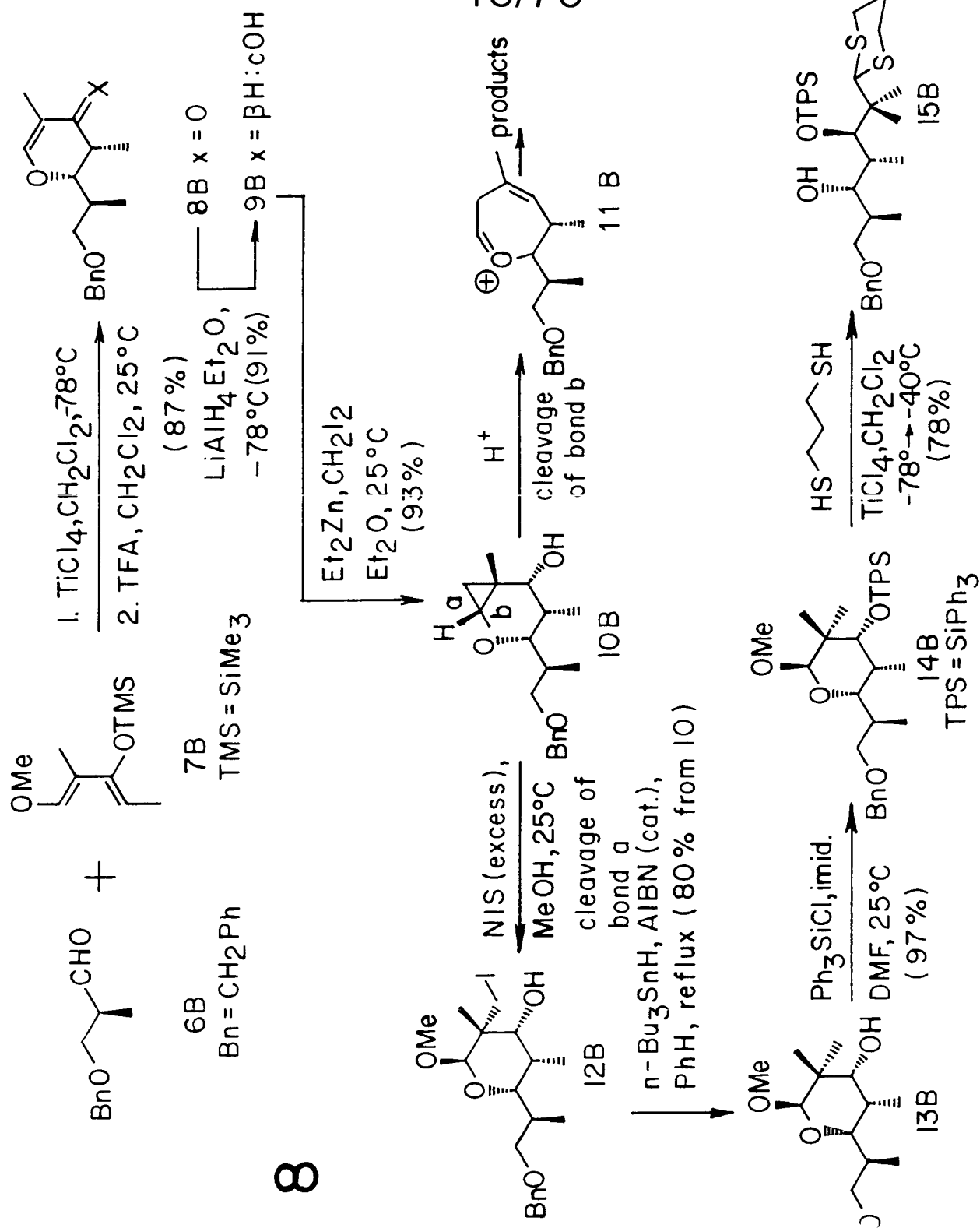
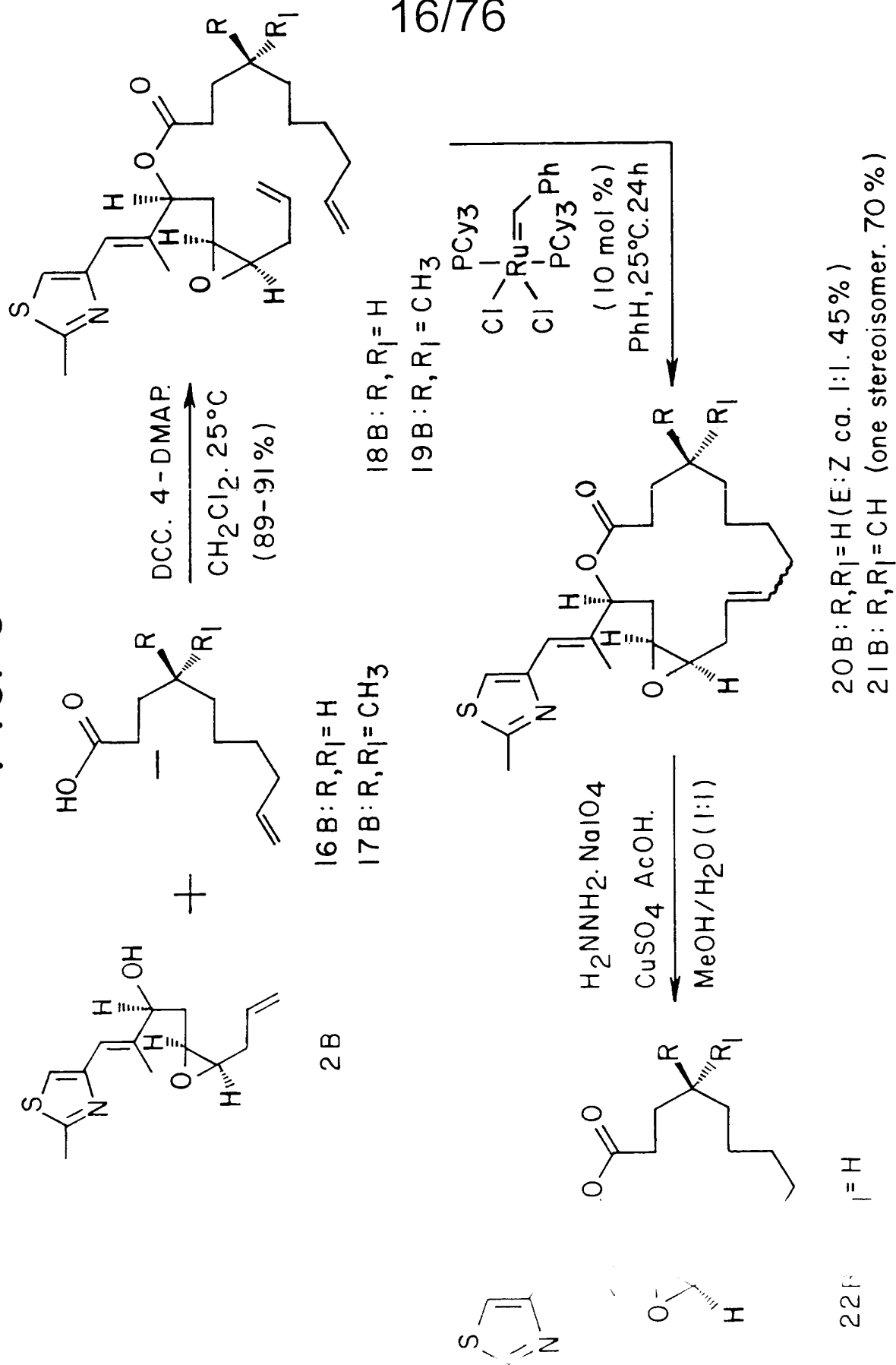
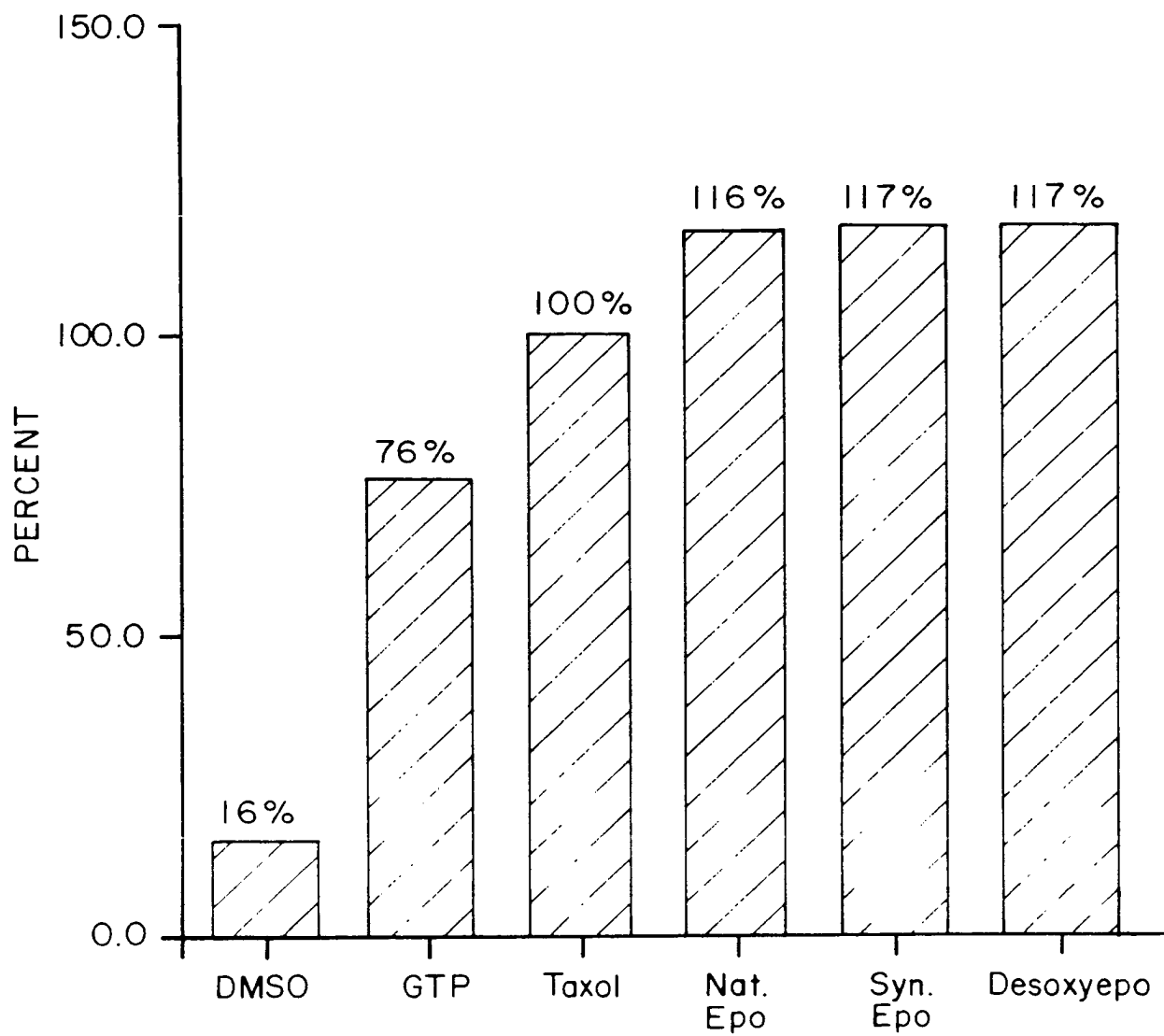


FIG. 9



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FIG. 10



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FIG. 11

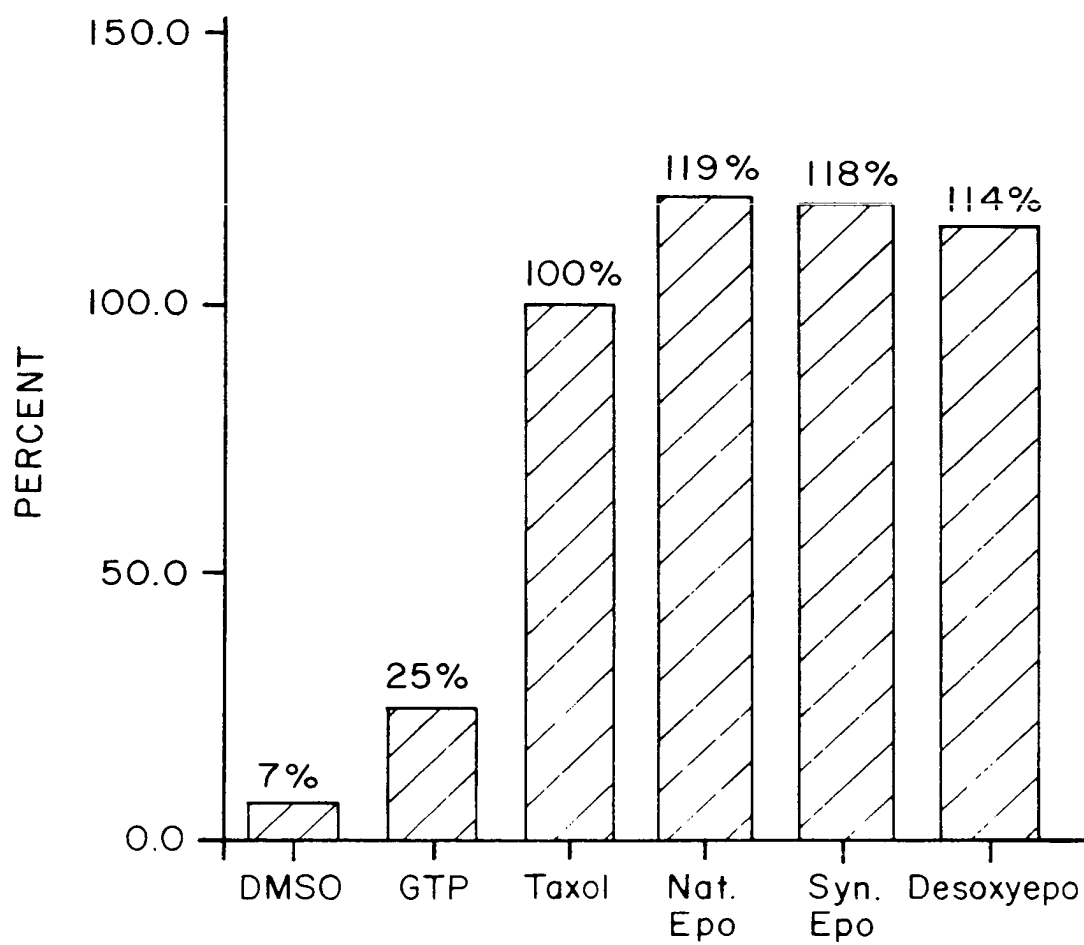


FIG. 14A

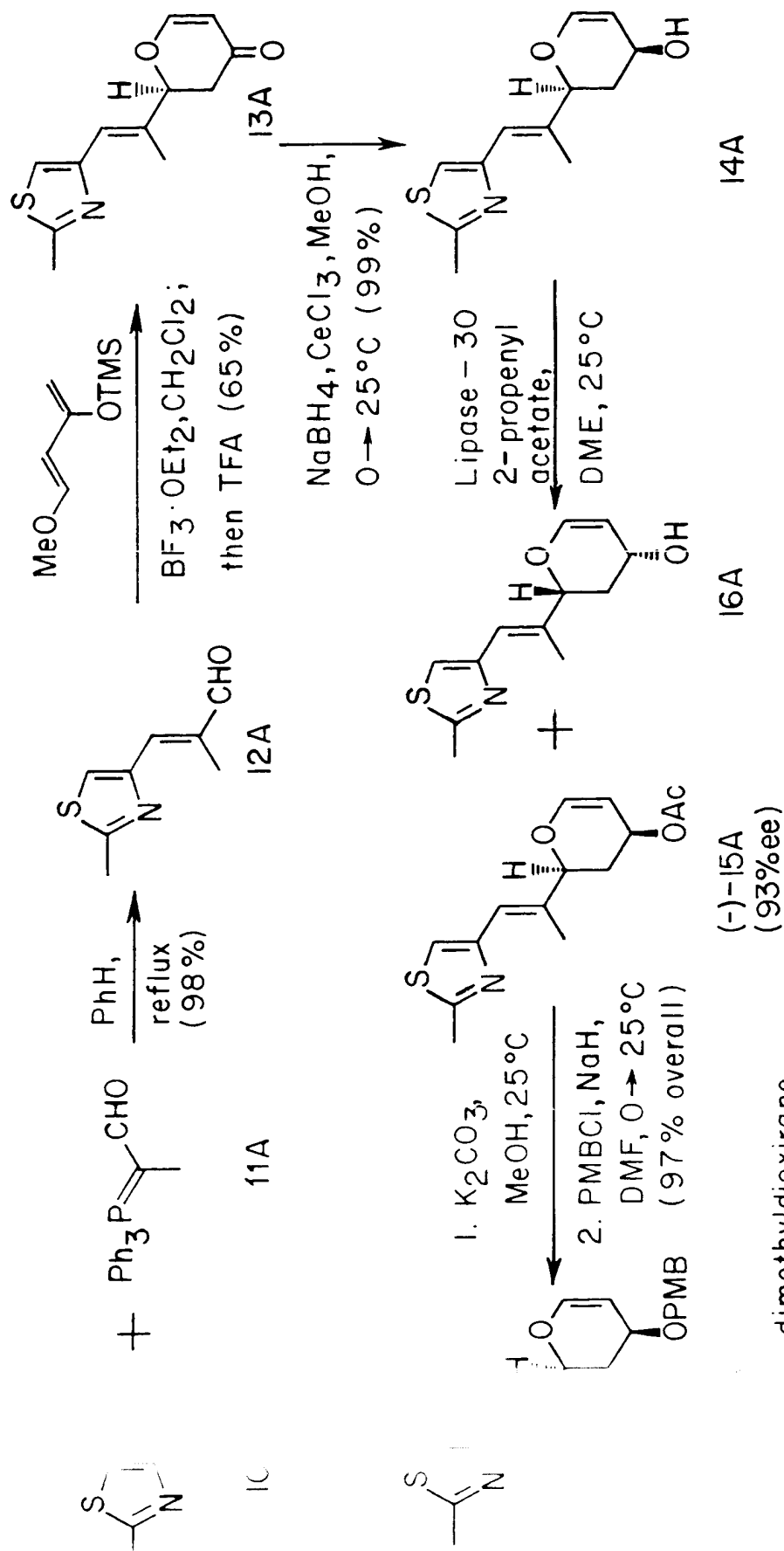


FIG. 14B

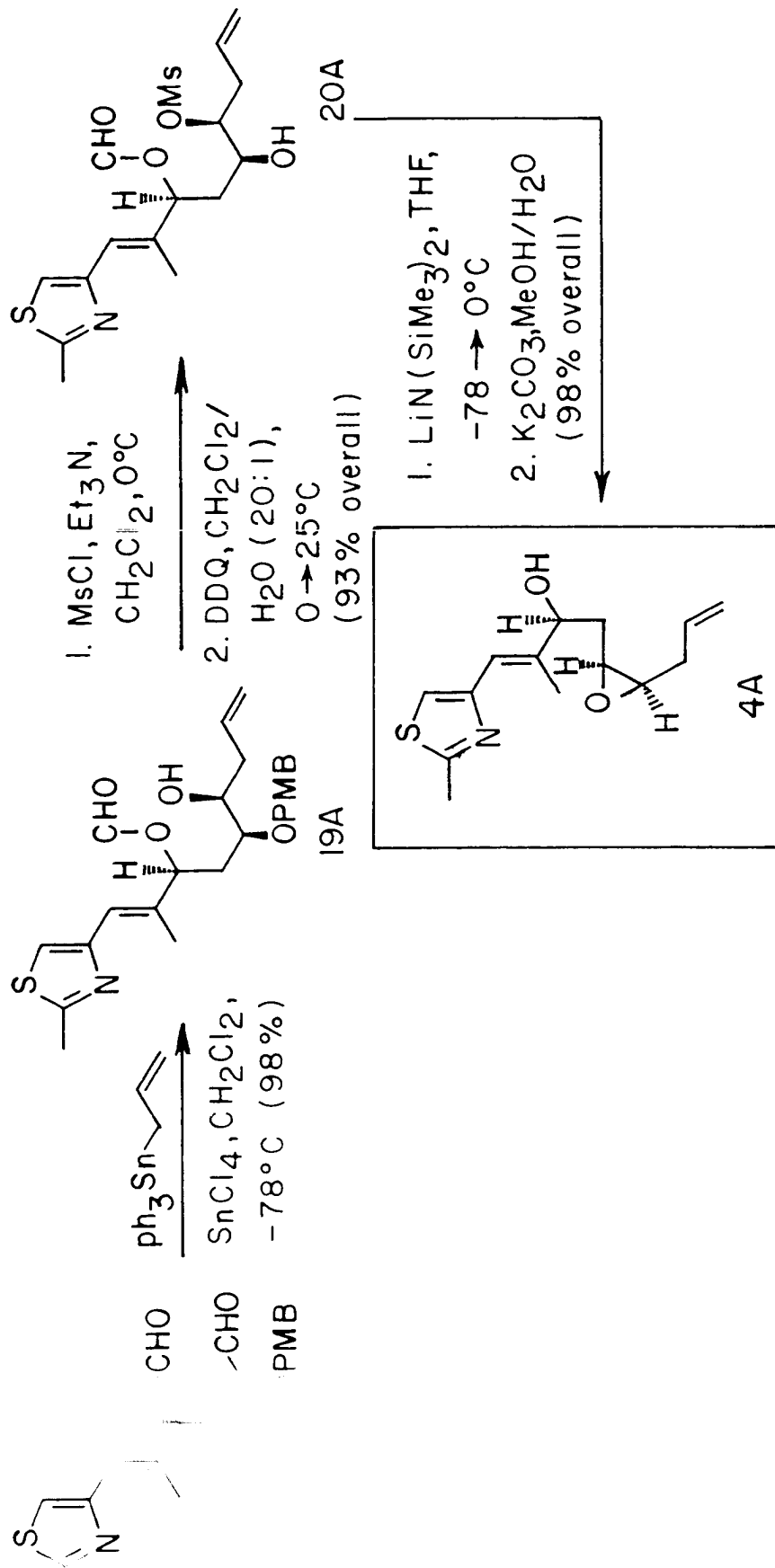
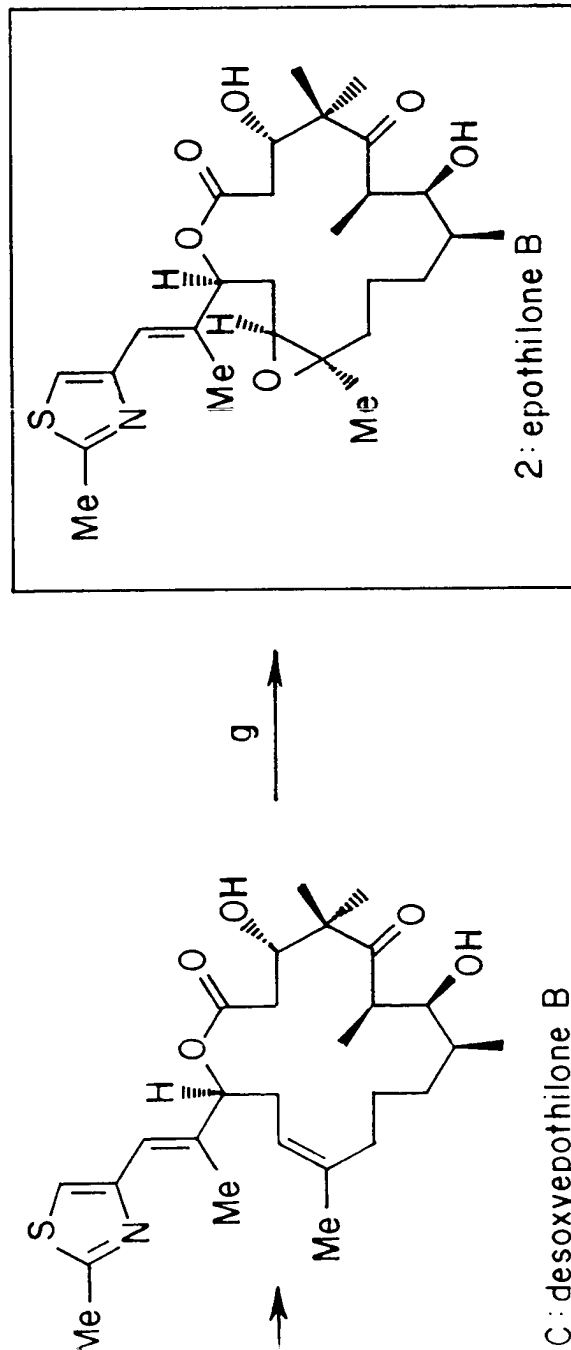
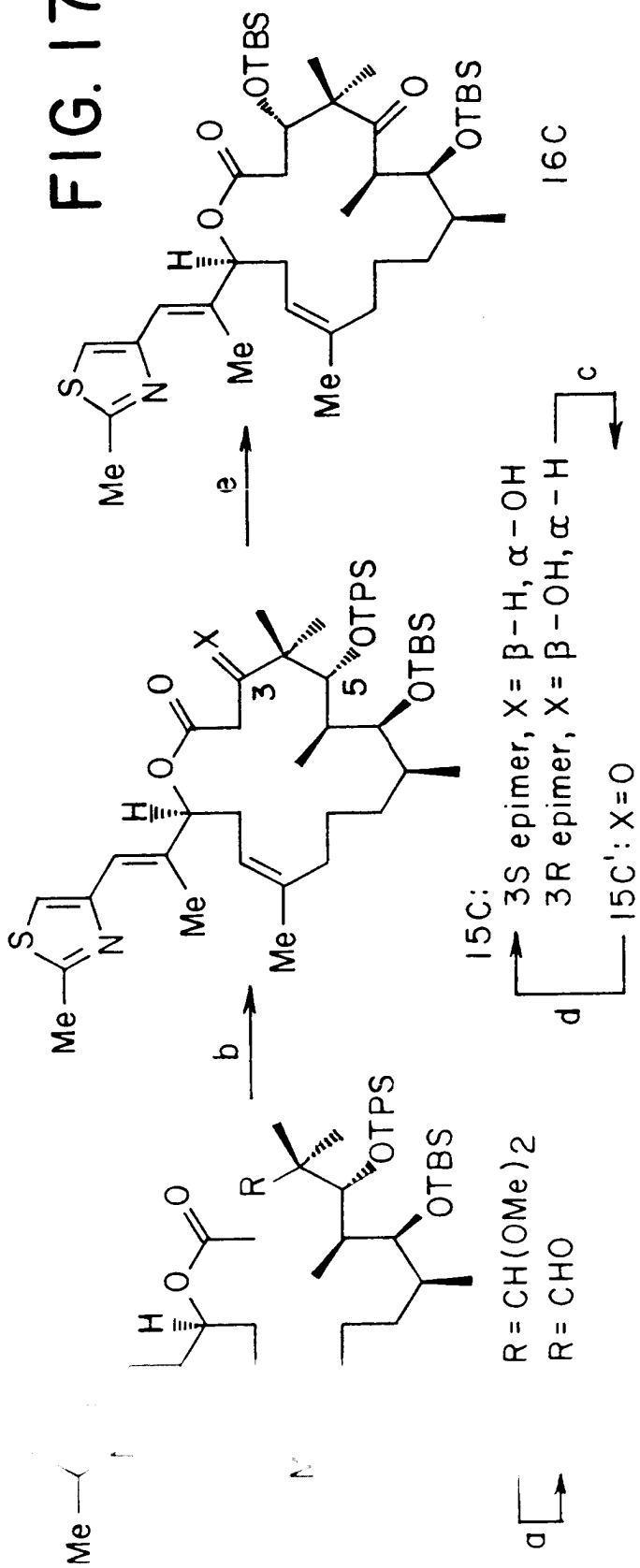
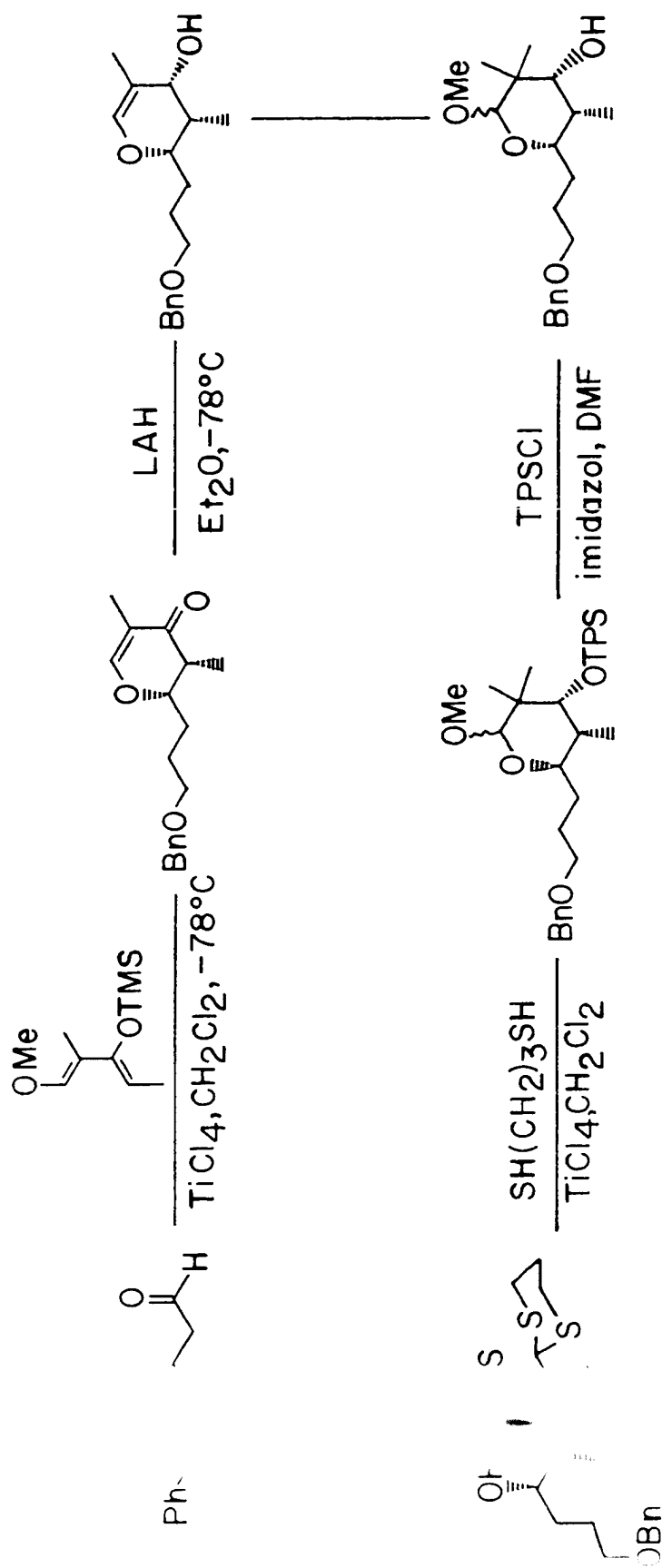


FIG. 17





BSOTf

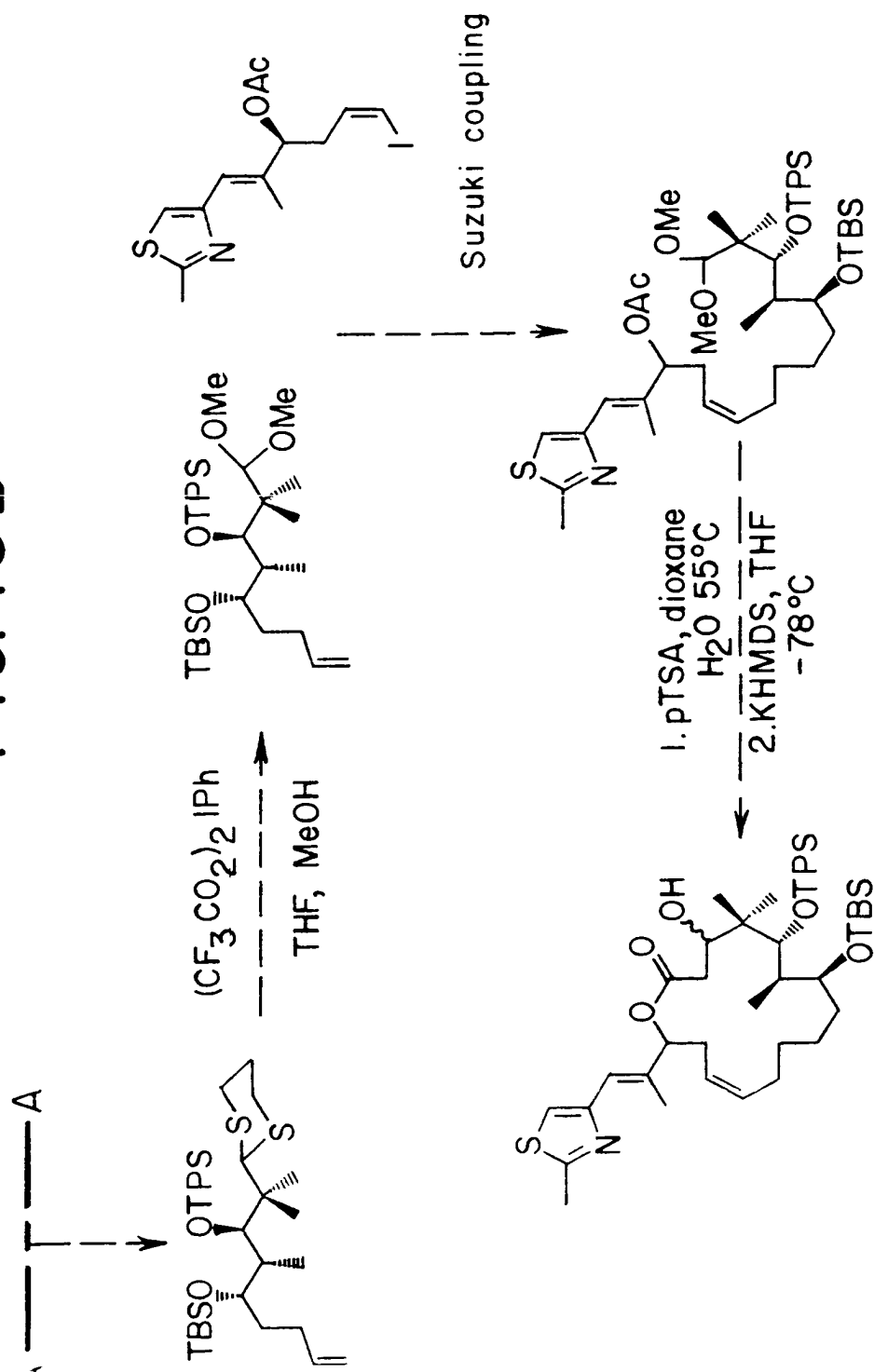
DDQ

Wittig olefination

FIG. 18A

A ——— A

FIG. 18B



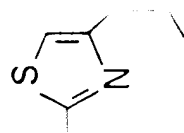


FIG. 19C

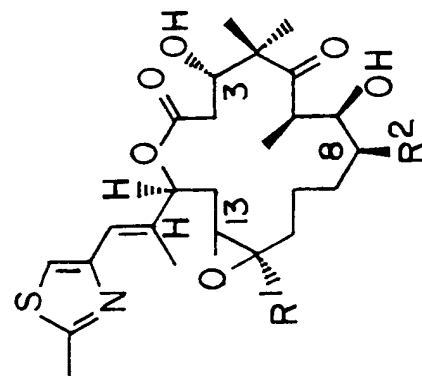
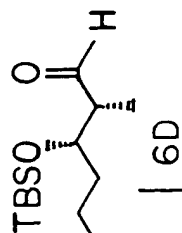
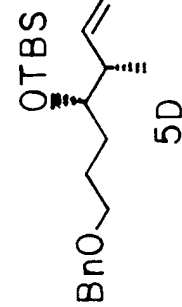
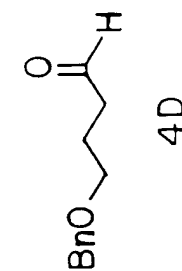


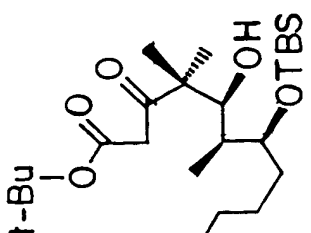
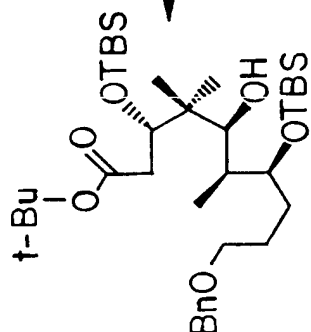
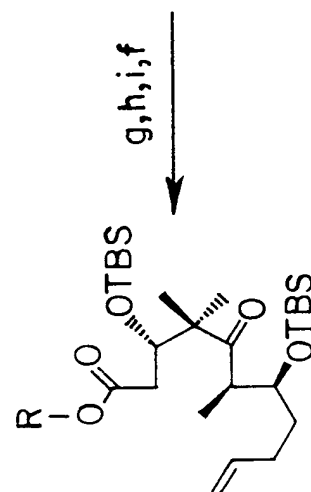
FIG. 20A

$R^1 = H, R^2 = \text{Me}; \text{epothilone A}$
 $R^1 = R^2 = \text{Me}; \text{epothilone B}$
 $R^1 = R^2 = H; \text{C}_8\text{-desmethyl-epothilone A (3D)}$



TBS = Sit-buMe₂

FIG 20B



$9D \# R = t\text{-butyl}$
 $10D \# R = \text{TBS}$

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FIG. 21

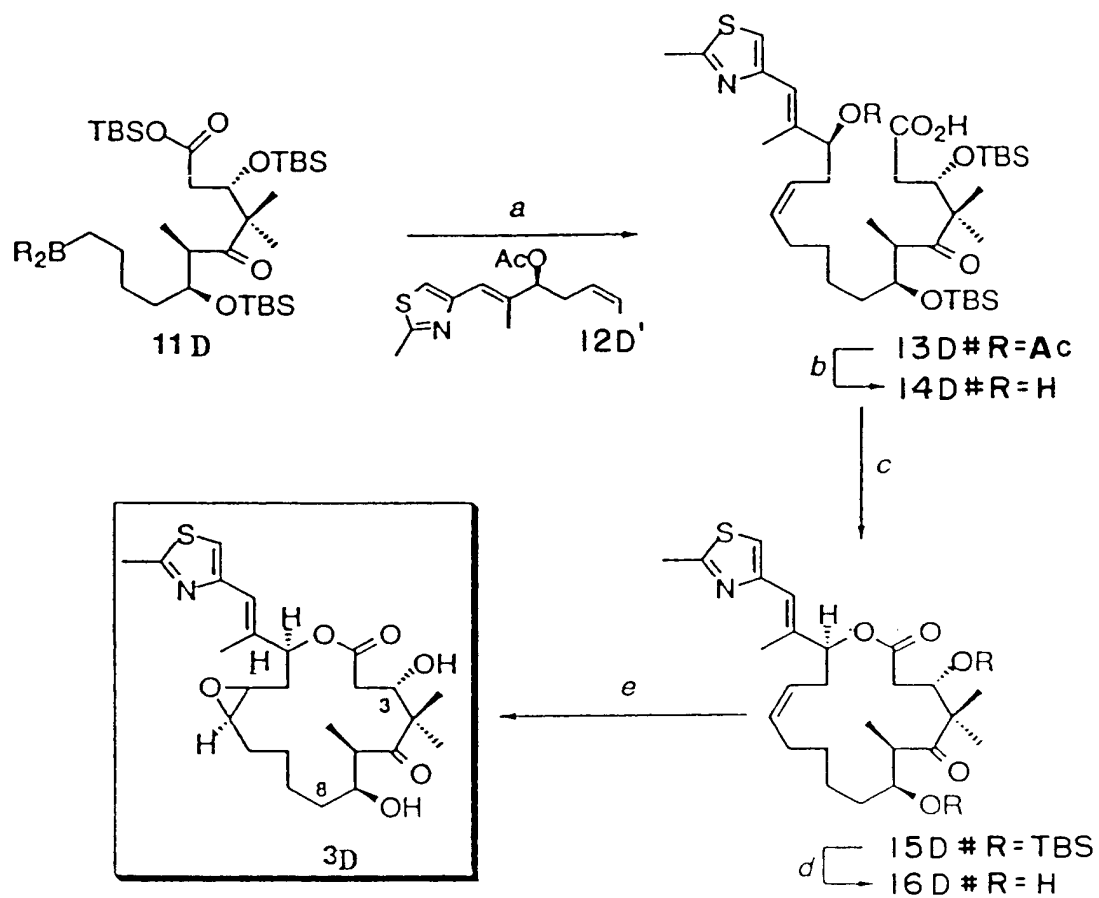


FIG. 22 A

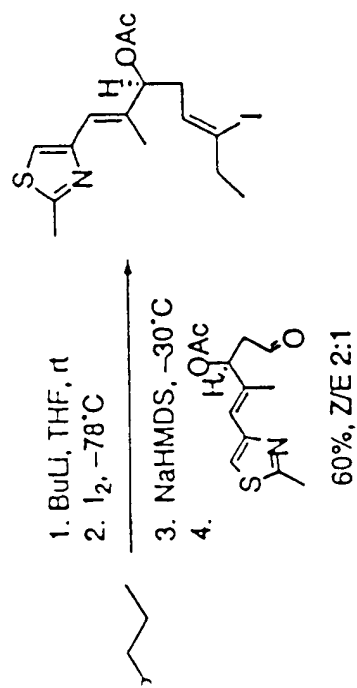


FIG. 22 B

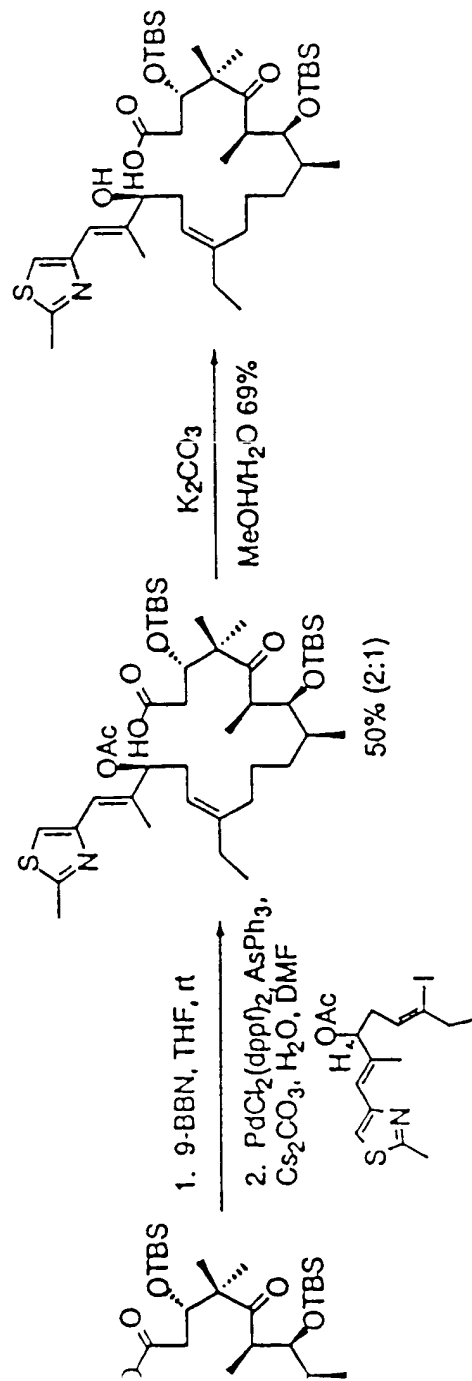


FIG. 22C

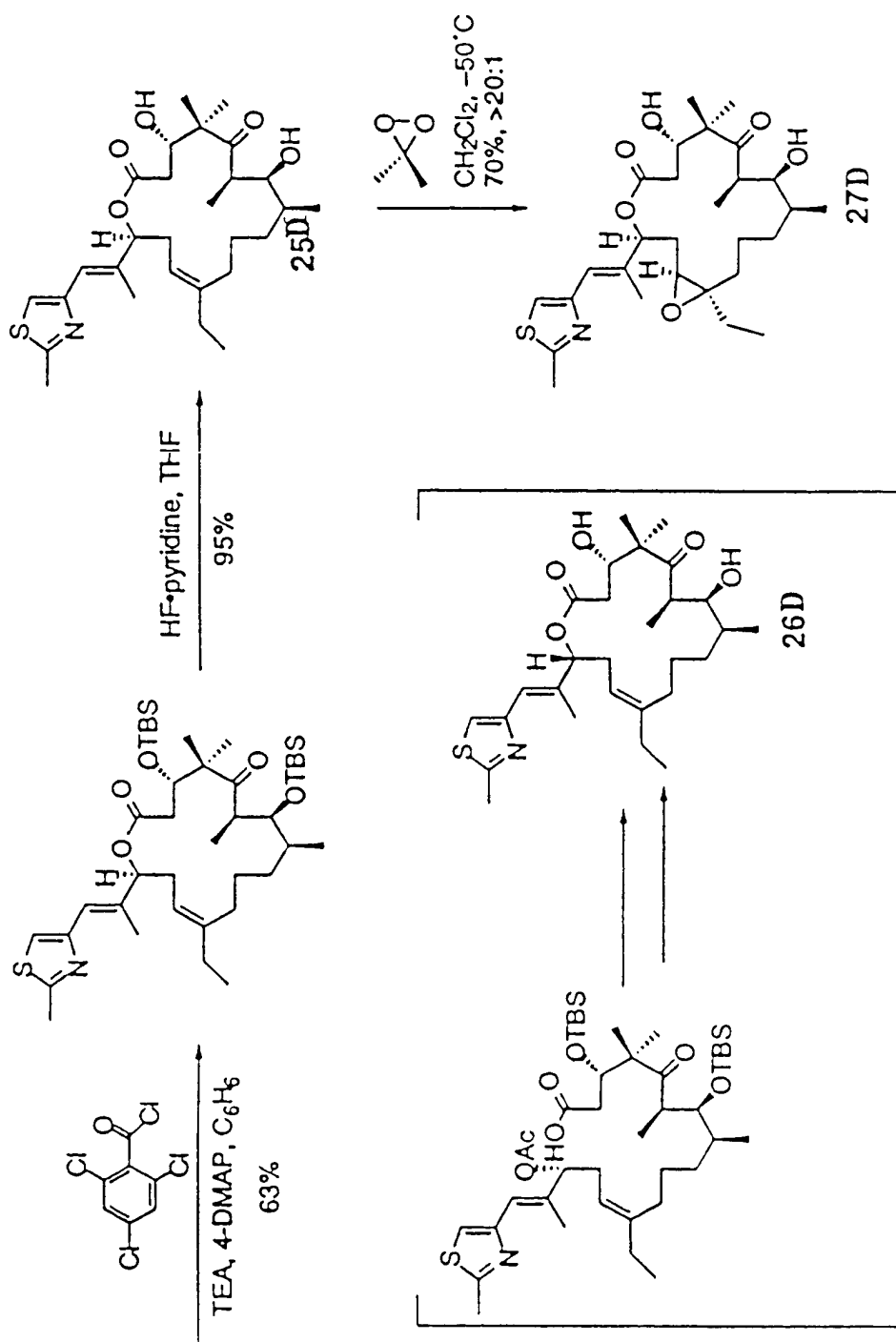


FIG. 23A

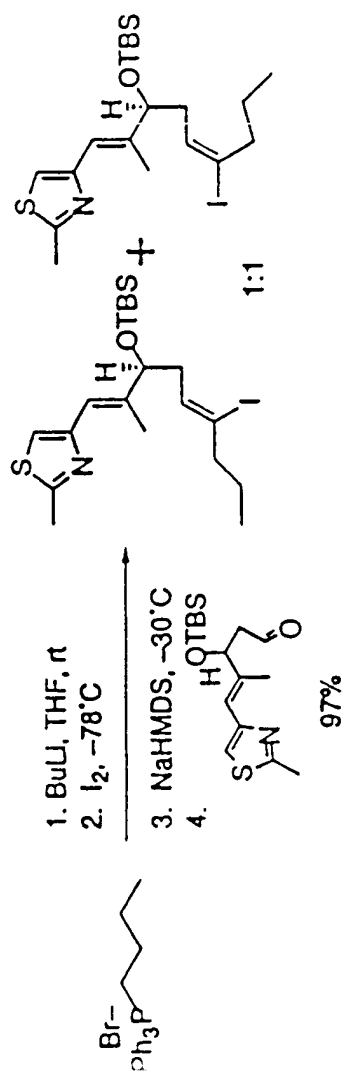


FIG. 23B

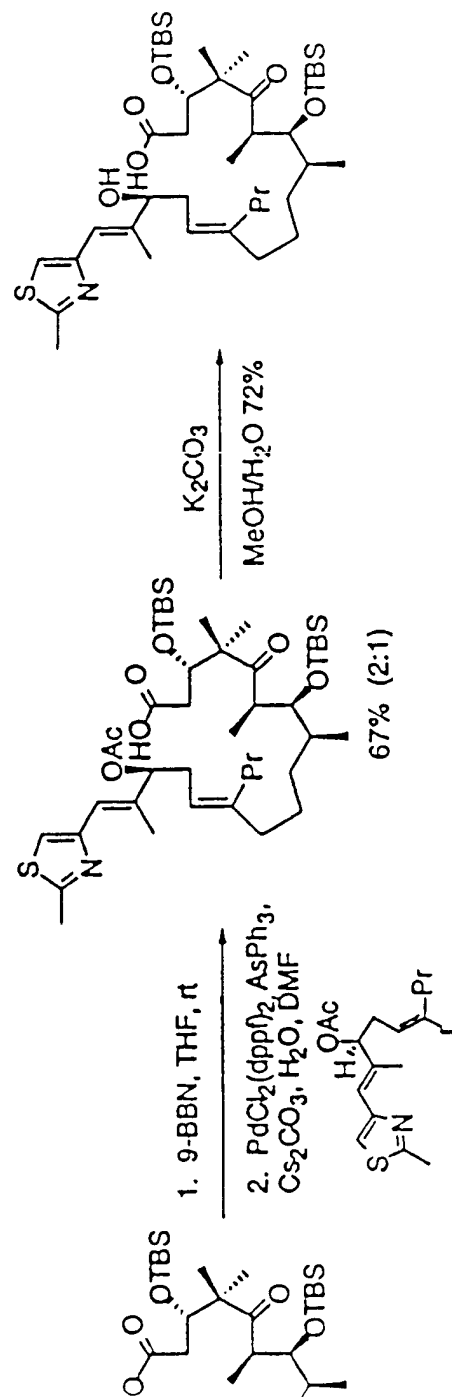


FIG. 23C

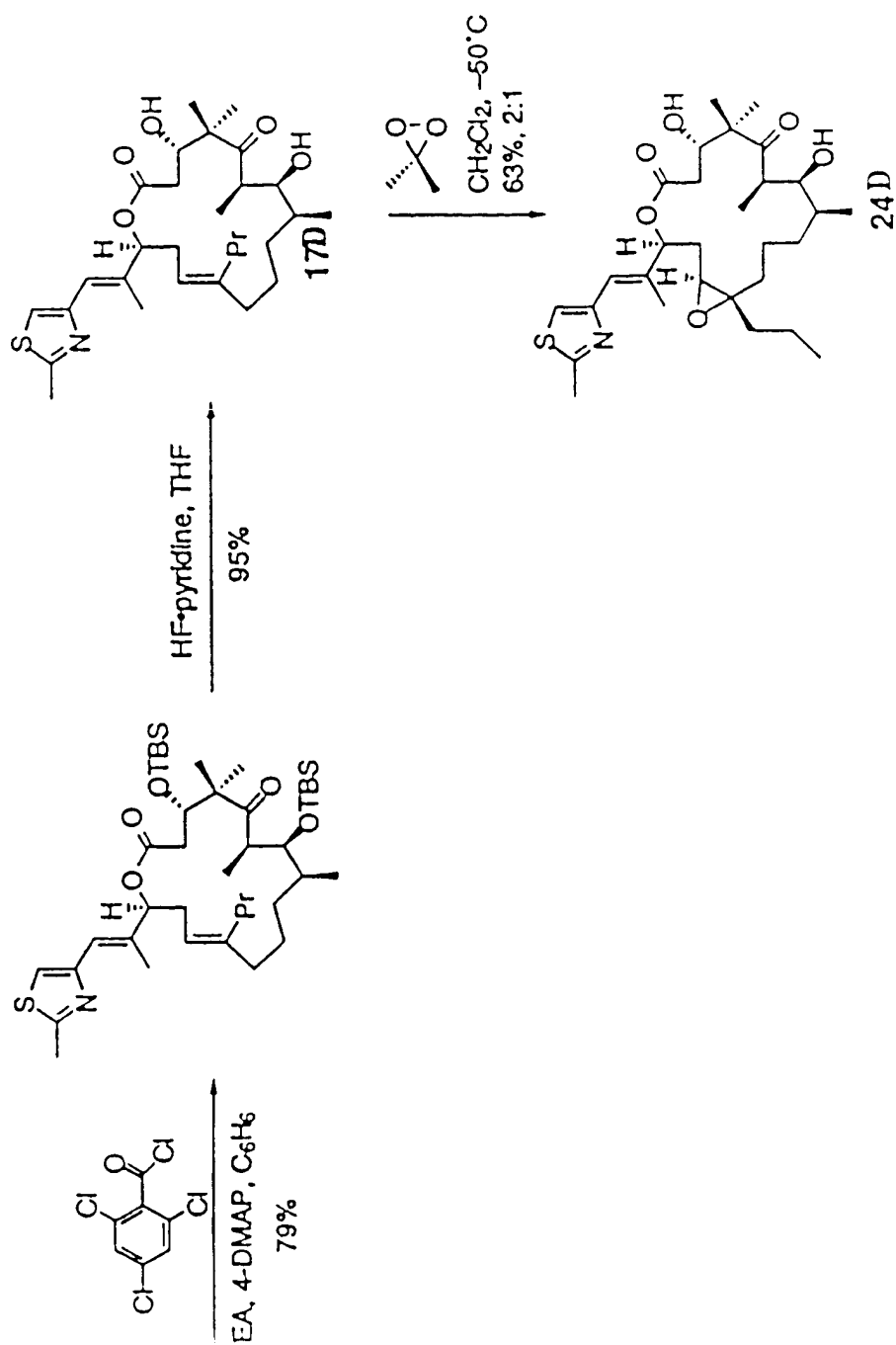
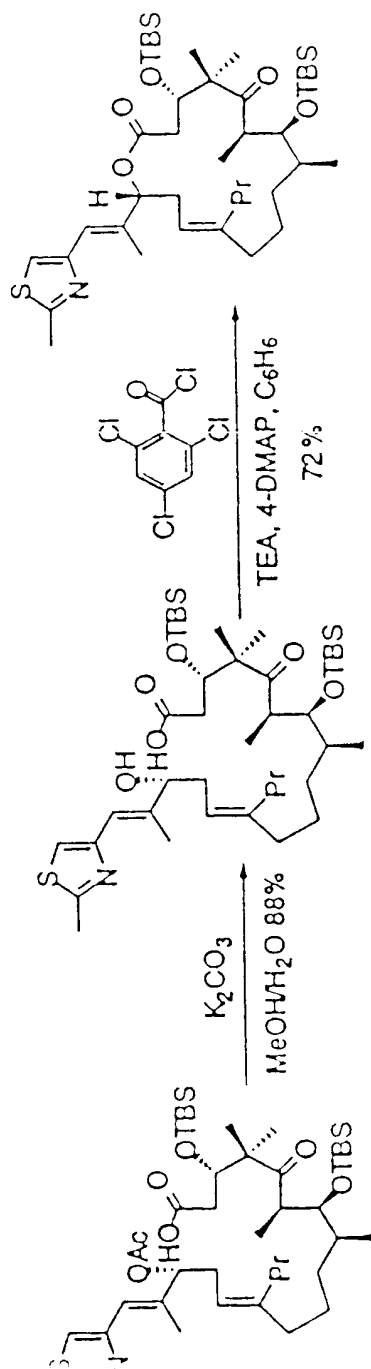


FIG. 24A



minor product from Suzuki coupling reaction

FIG. 24B

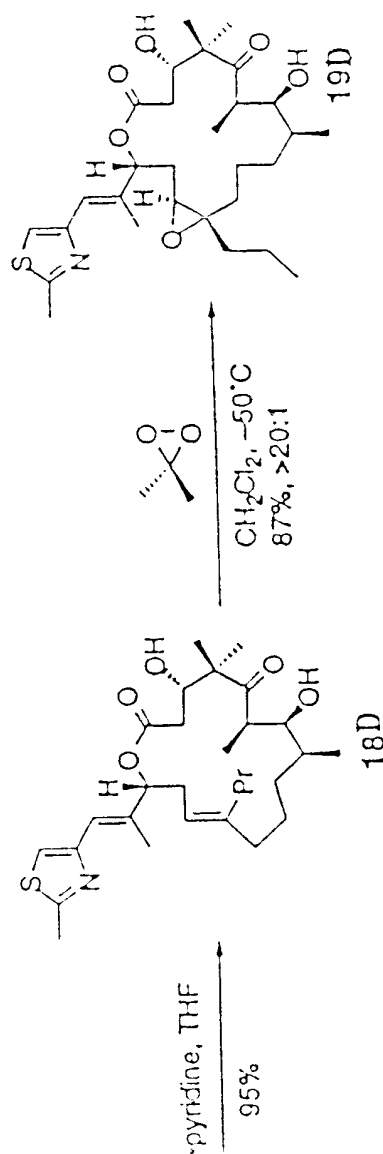


FIG. 25A

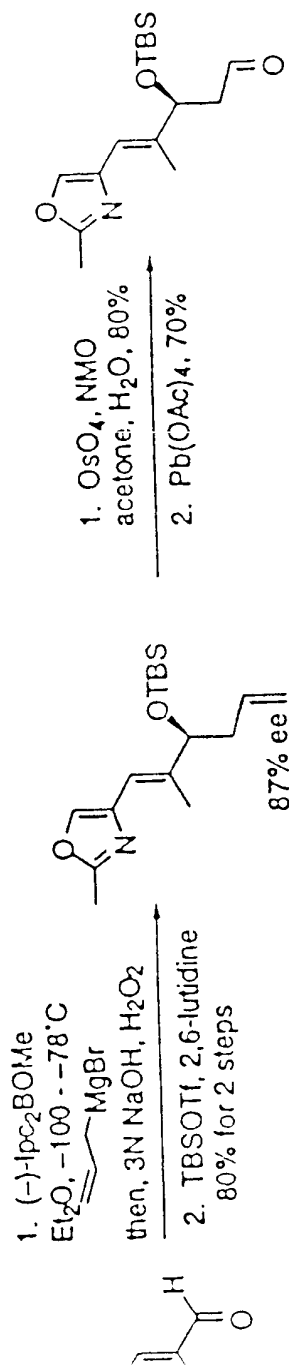


FIG. 25B

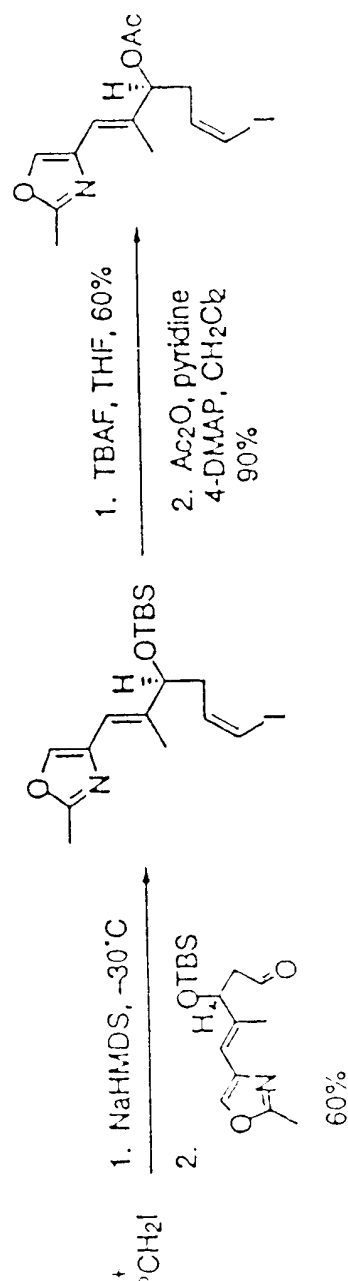


FIG. 25C

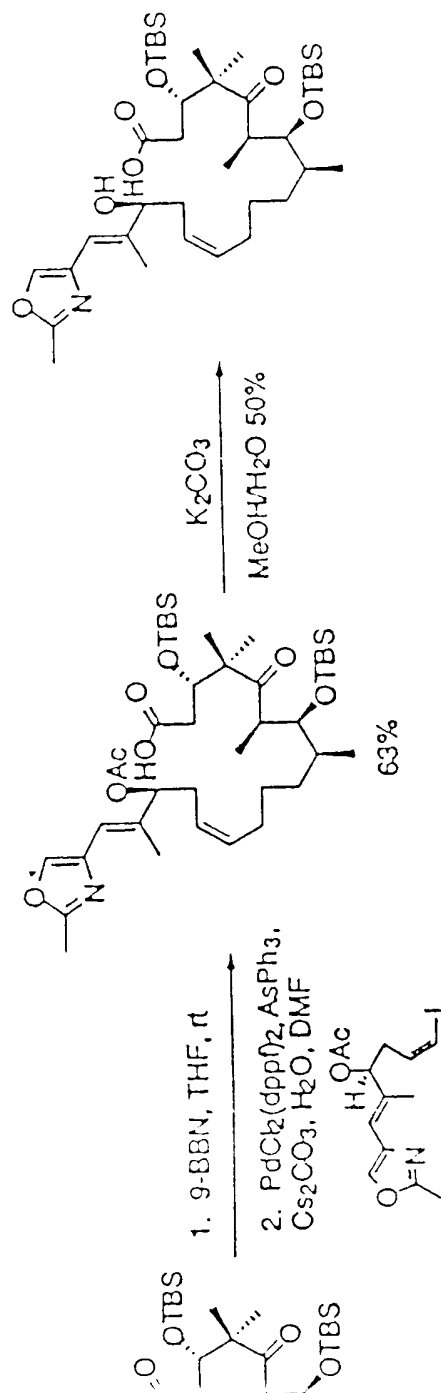


FIG. 25D

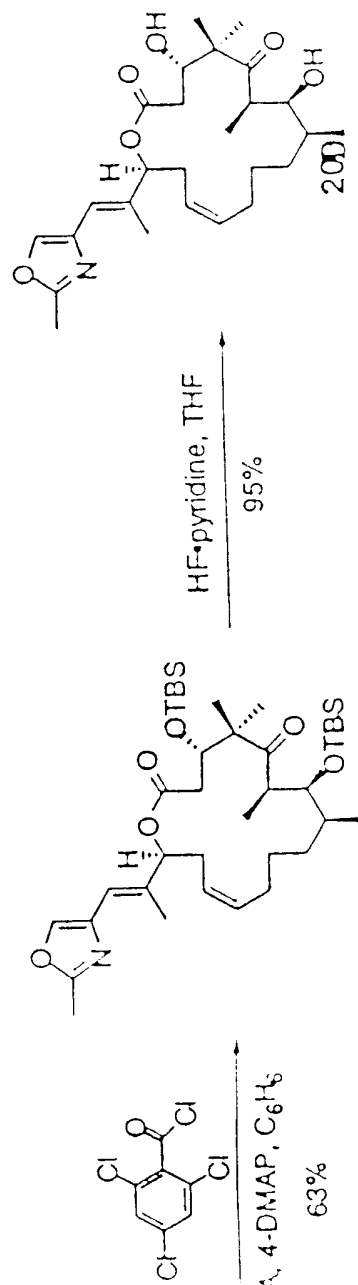


FIG. 26A

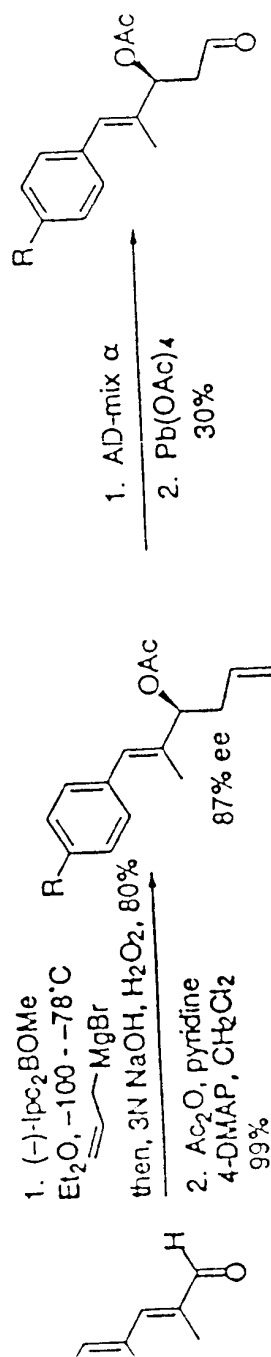
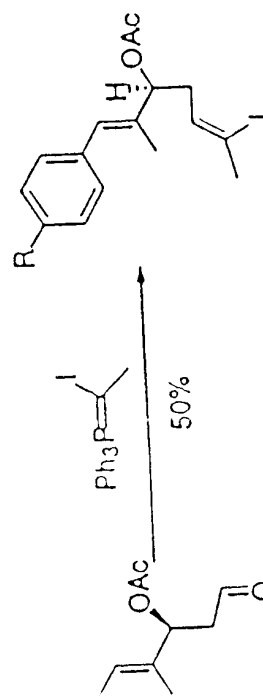


FIG. 26B



R = H, F, CF₃
 R=H is the only compound
 completed, F and CF₃ are nearly
 completed

FIG. 26C

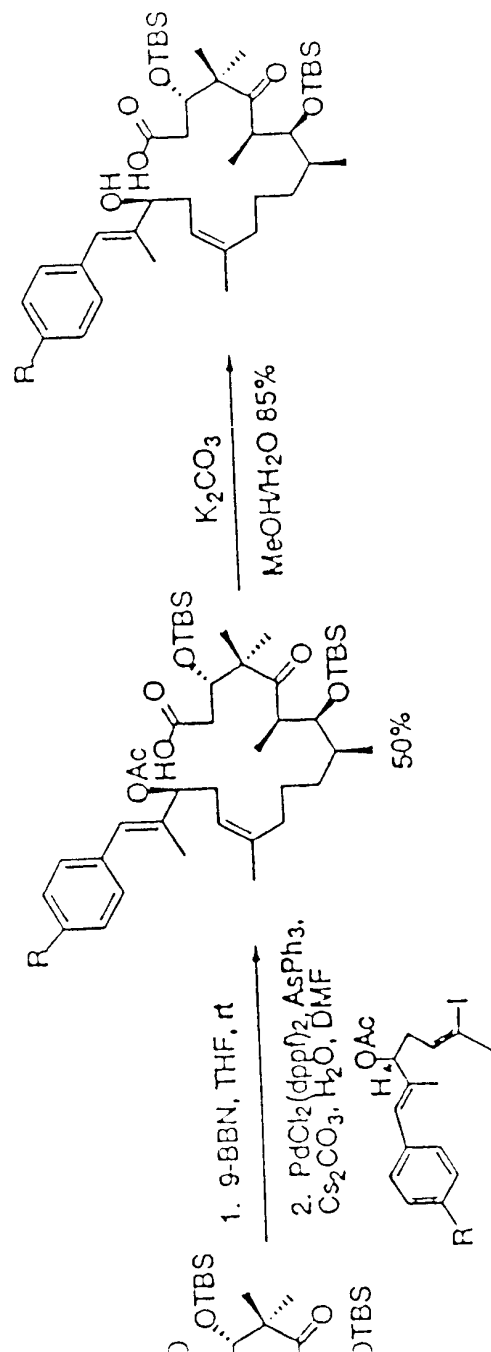


FIG. 26D

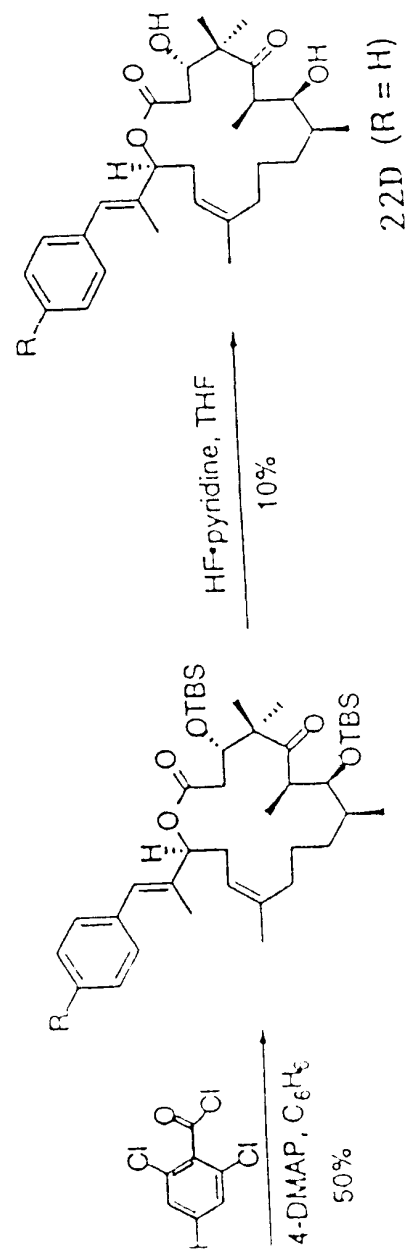
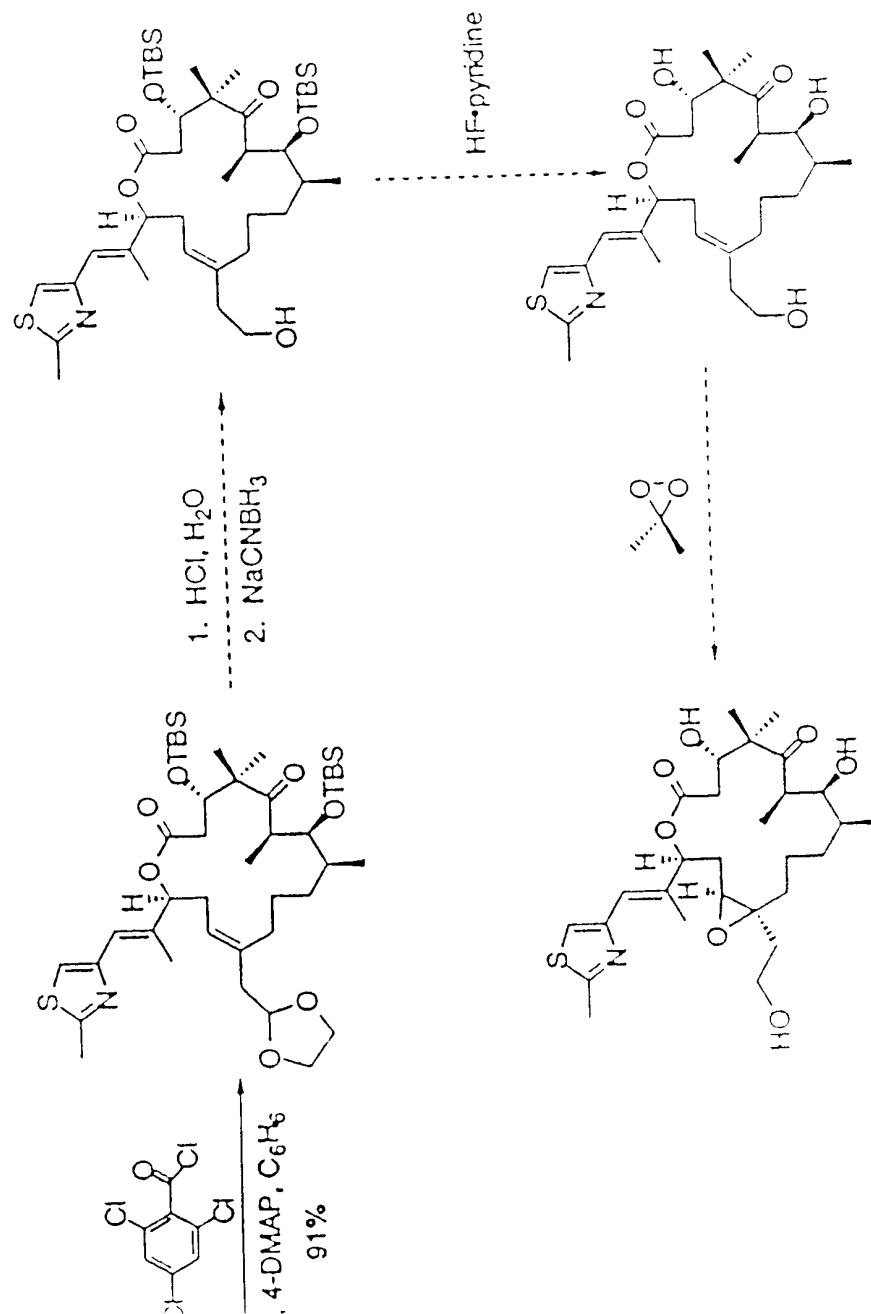
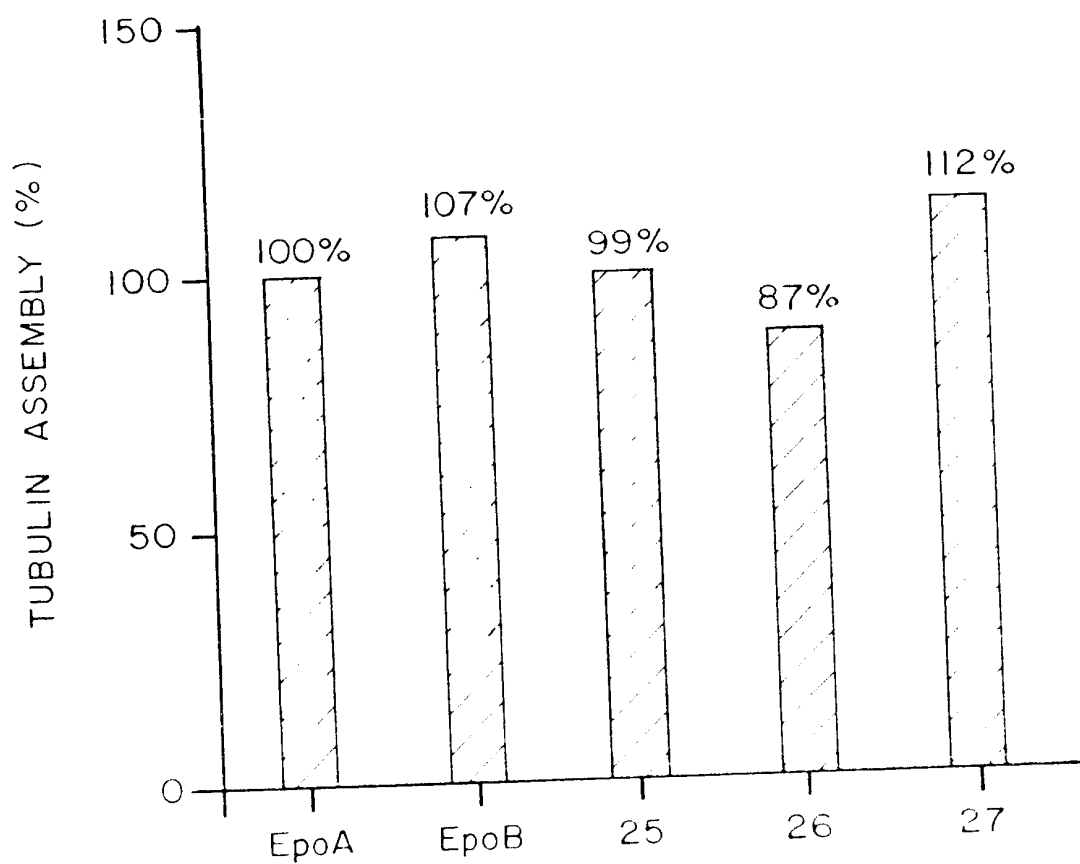


FIG. 27C



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FIG. 28A



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FIG. 28B

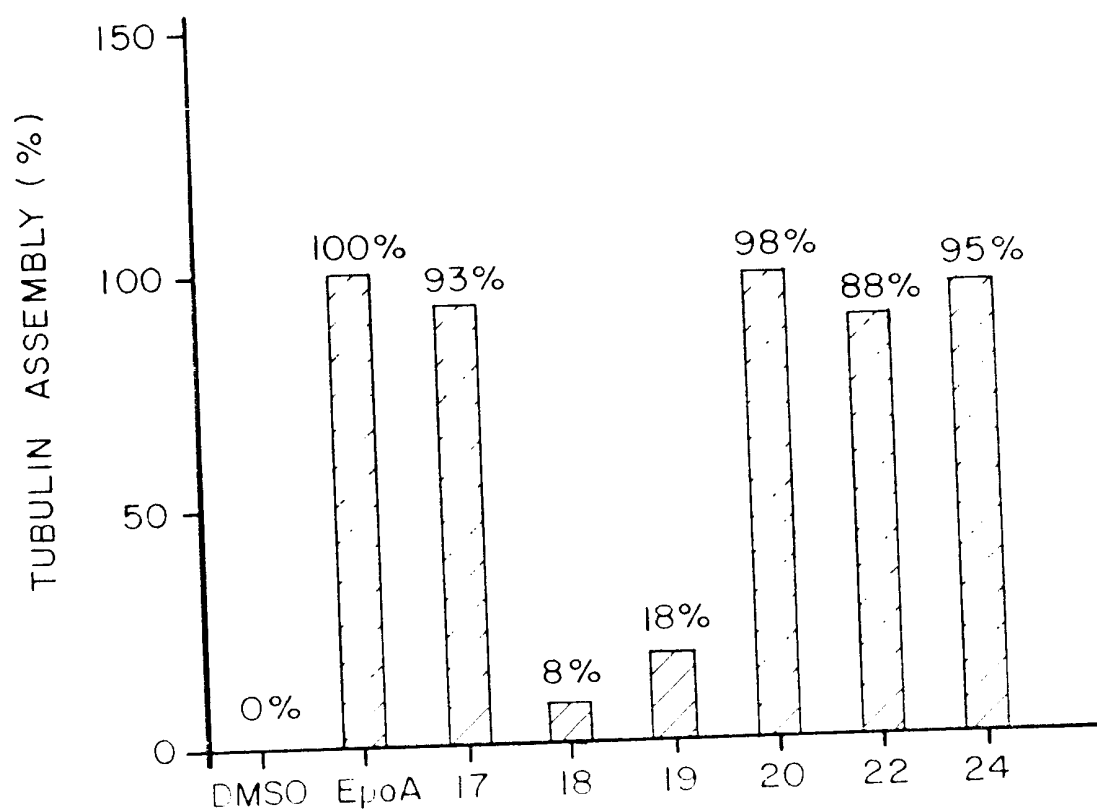


FIG. 30

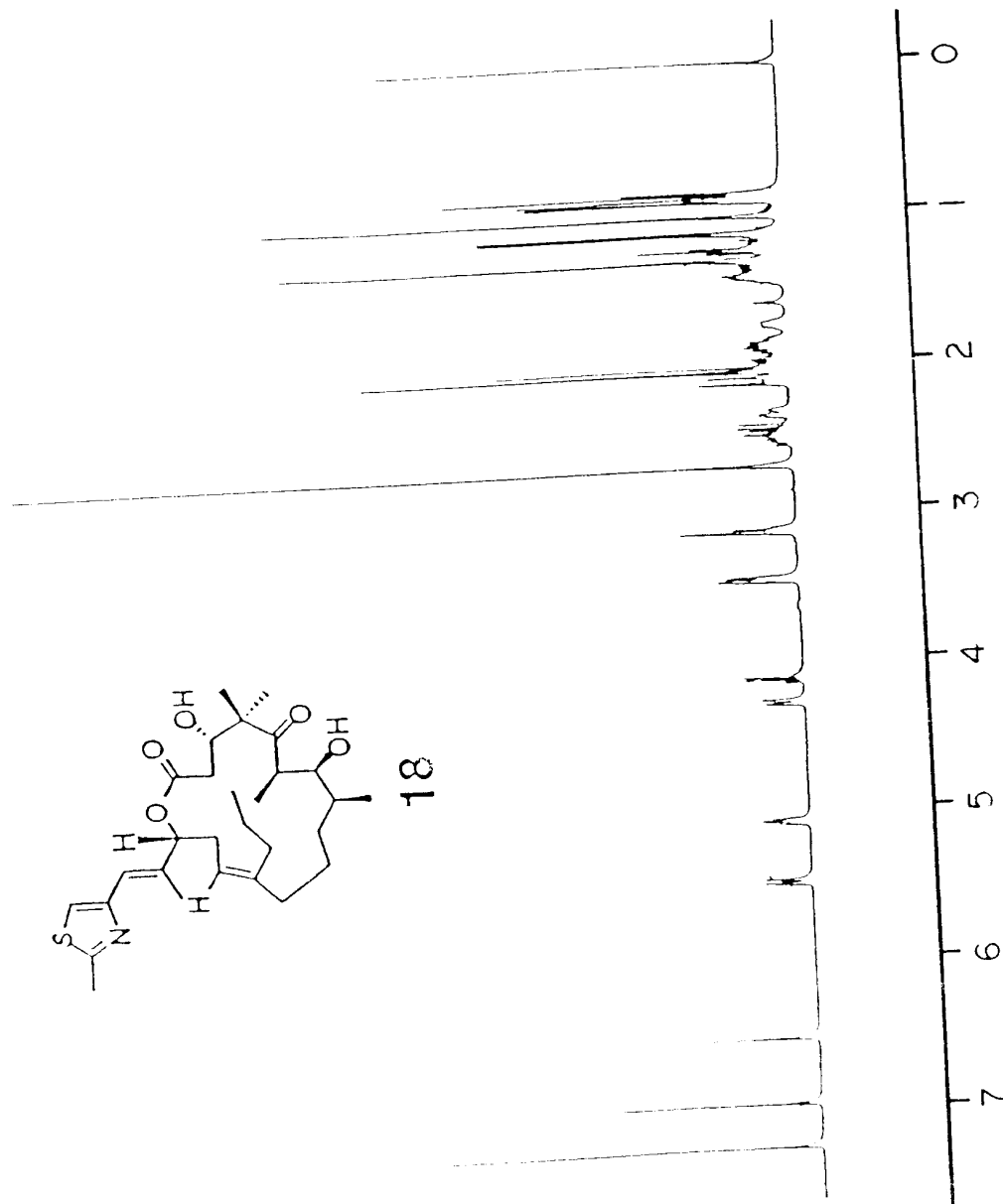
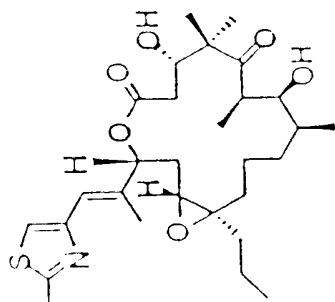
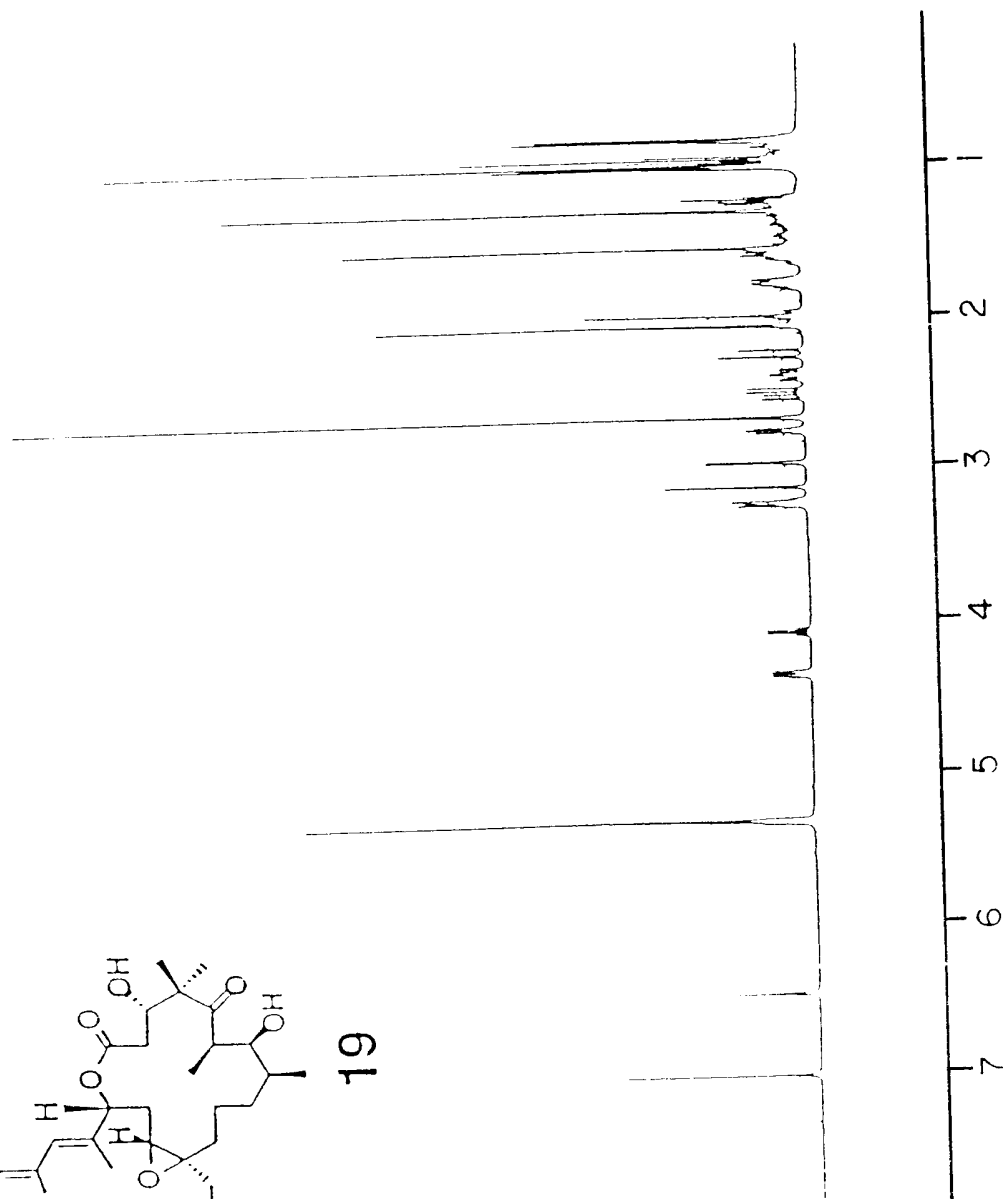


FIG. 31

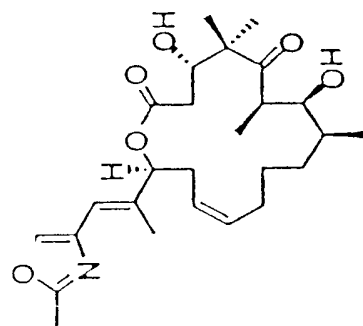


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FIG. 32



20

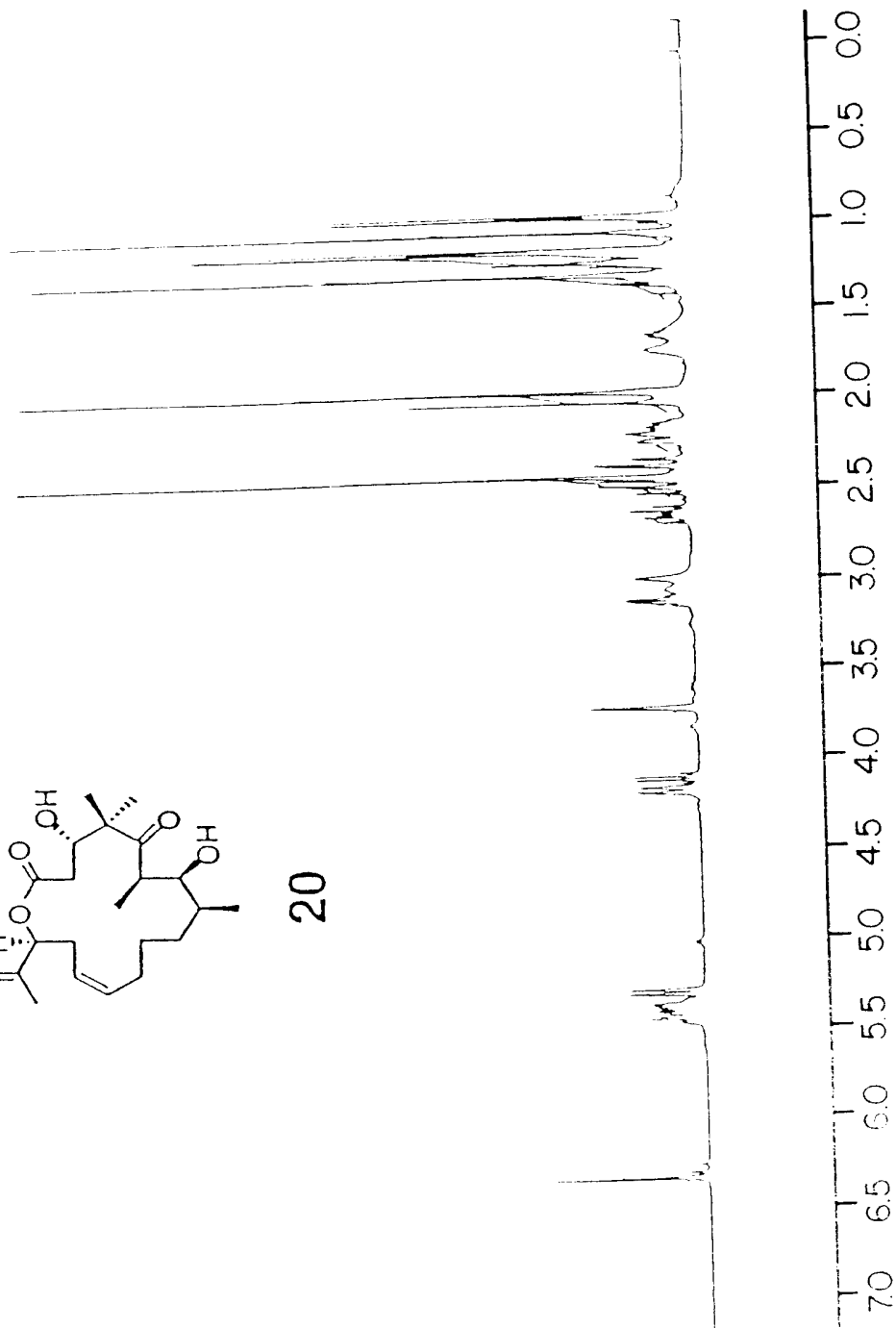
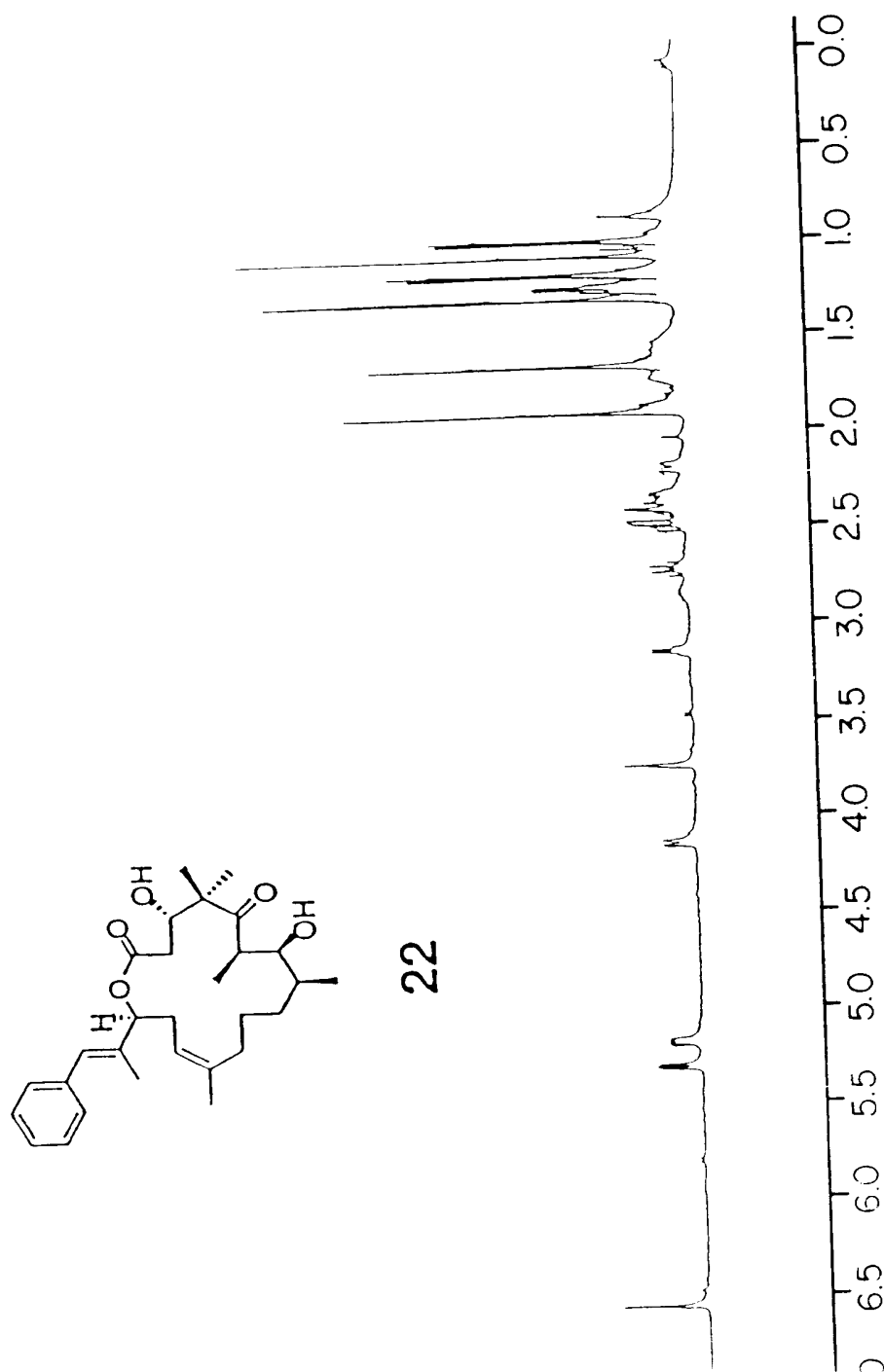
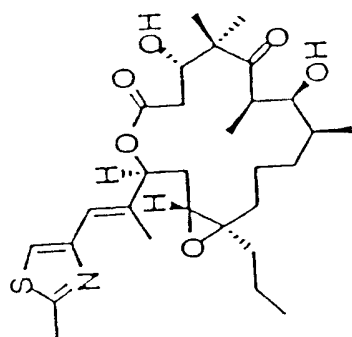


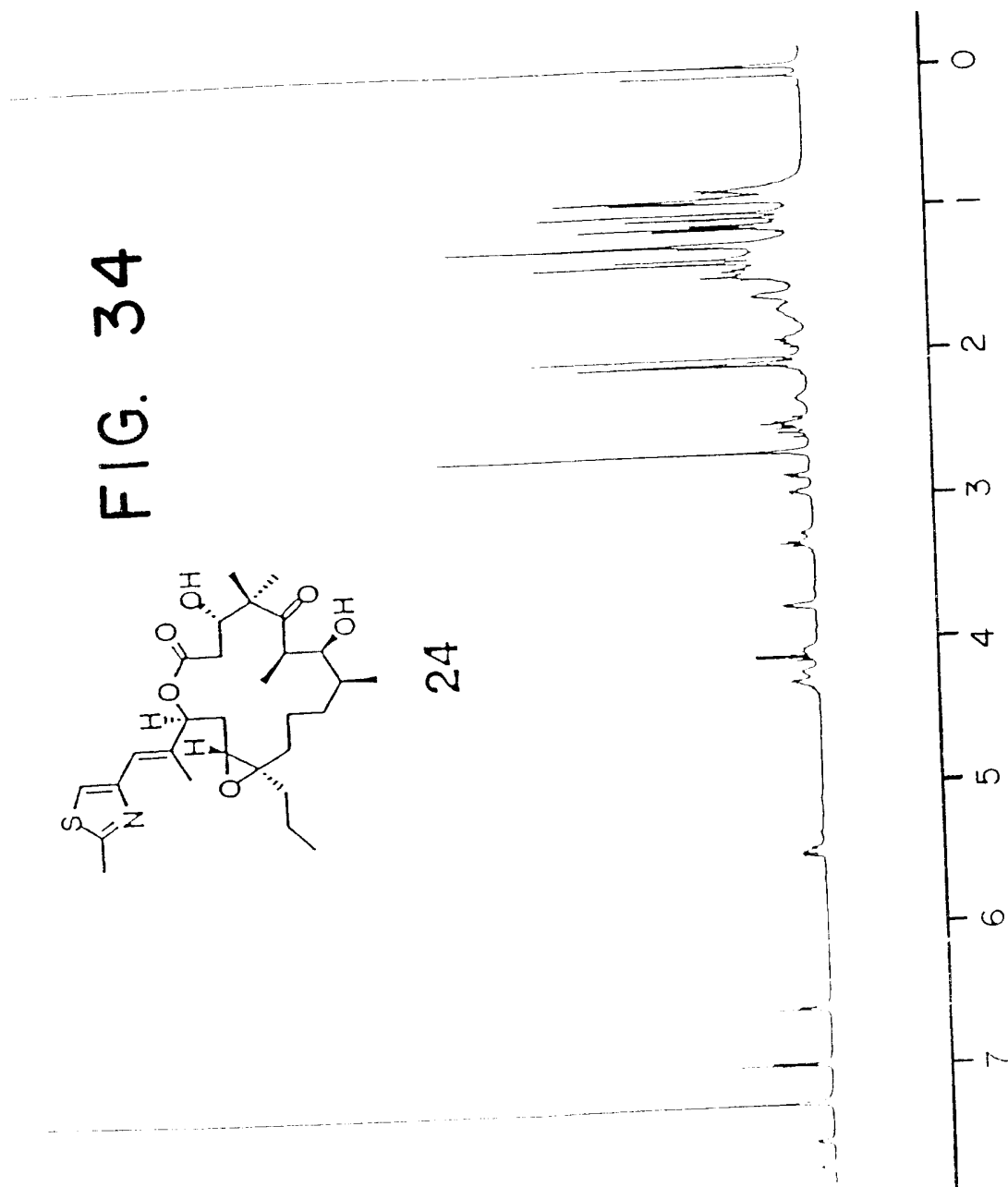
FIG. 33



43
E/G.

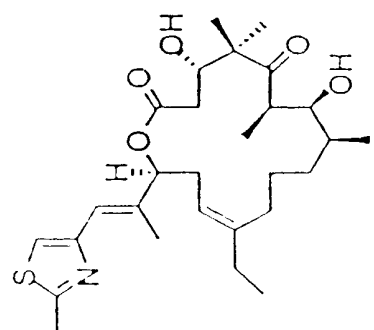


24

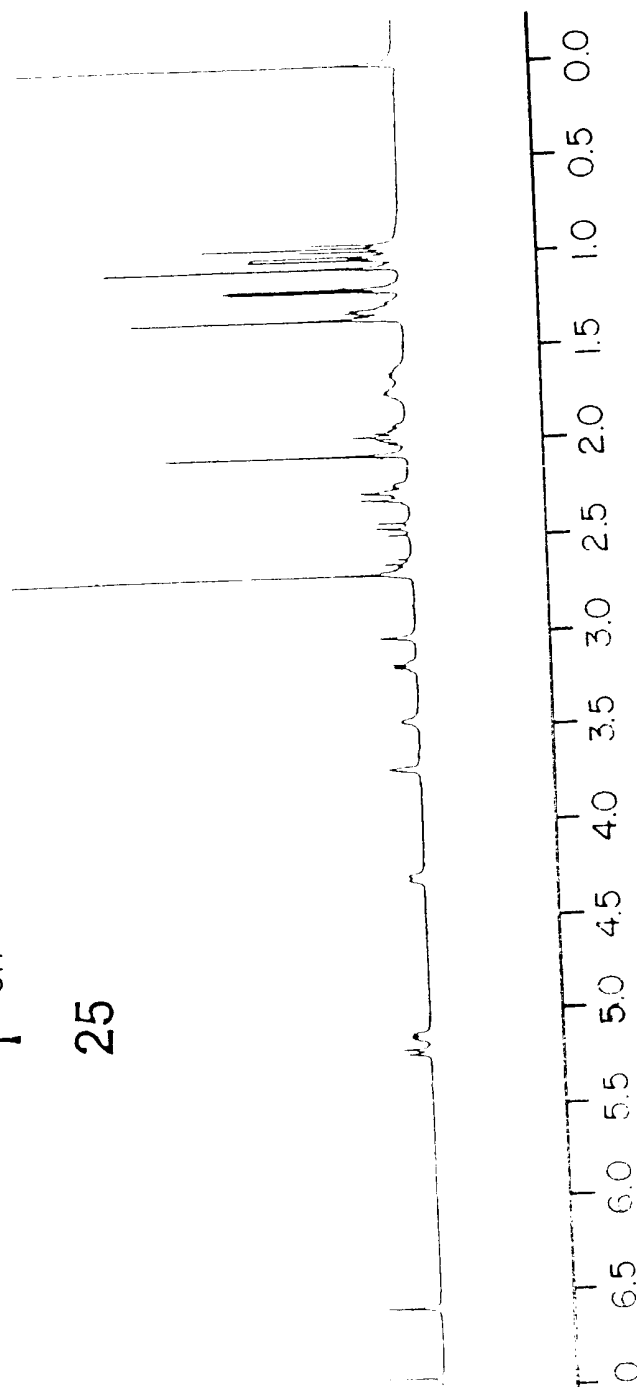


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FIG. 35

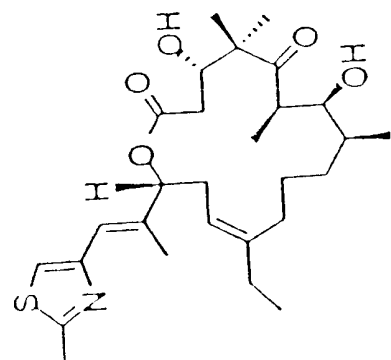


25



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FIG. 36



26

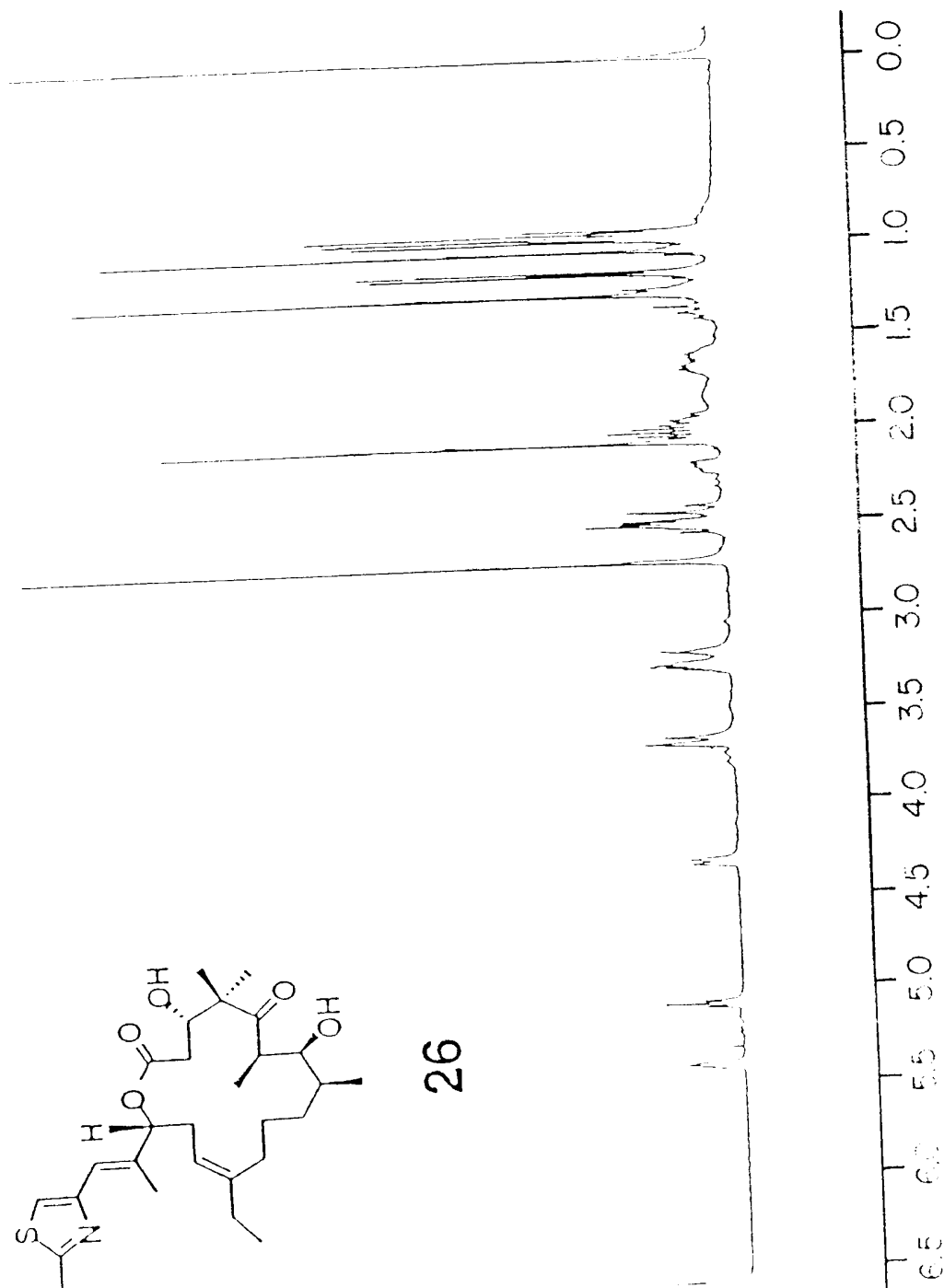


FIG. 37

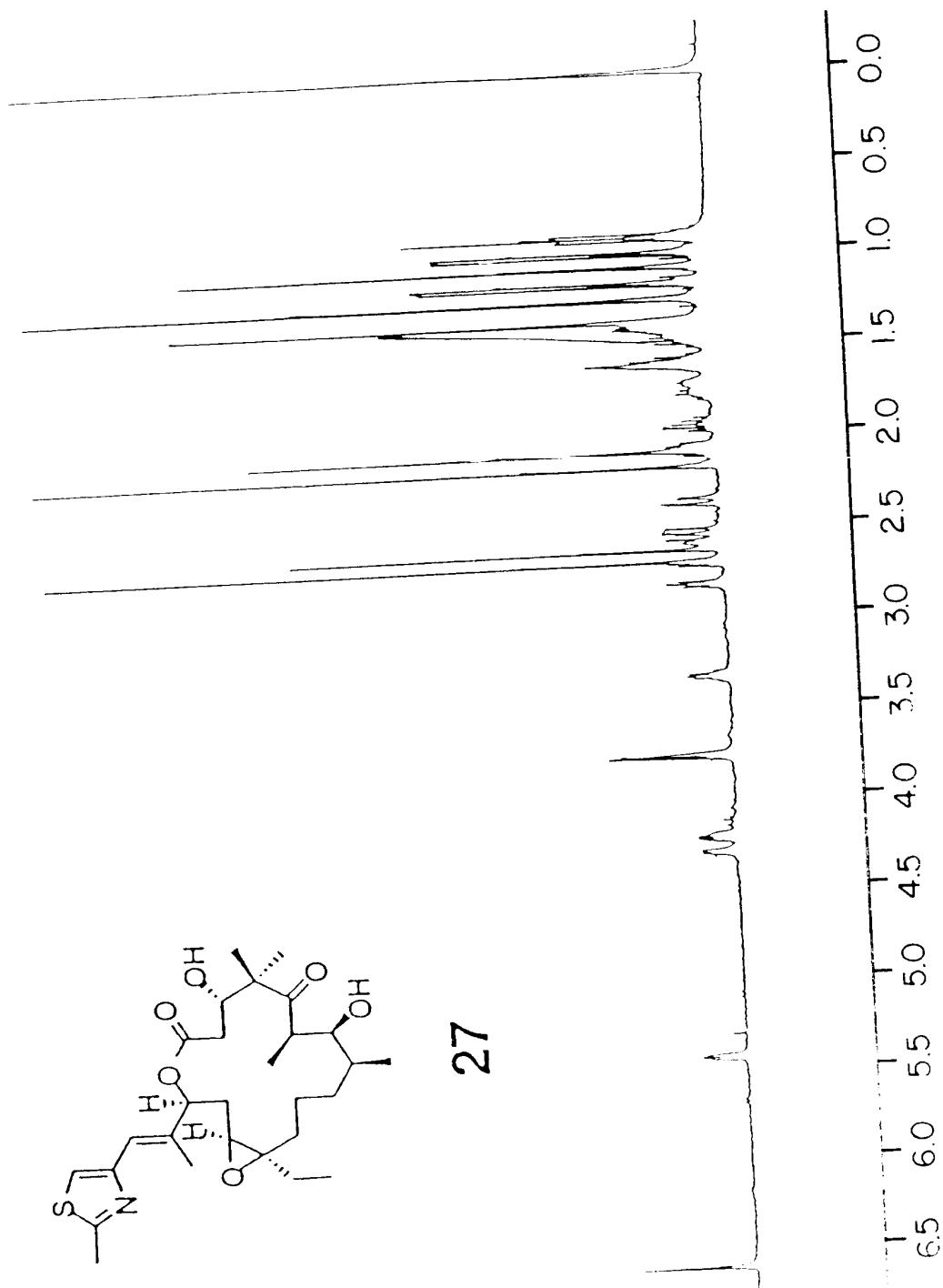


FIG. 38

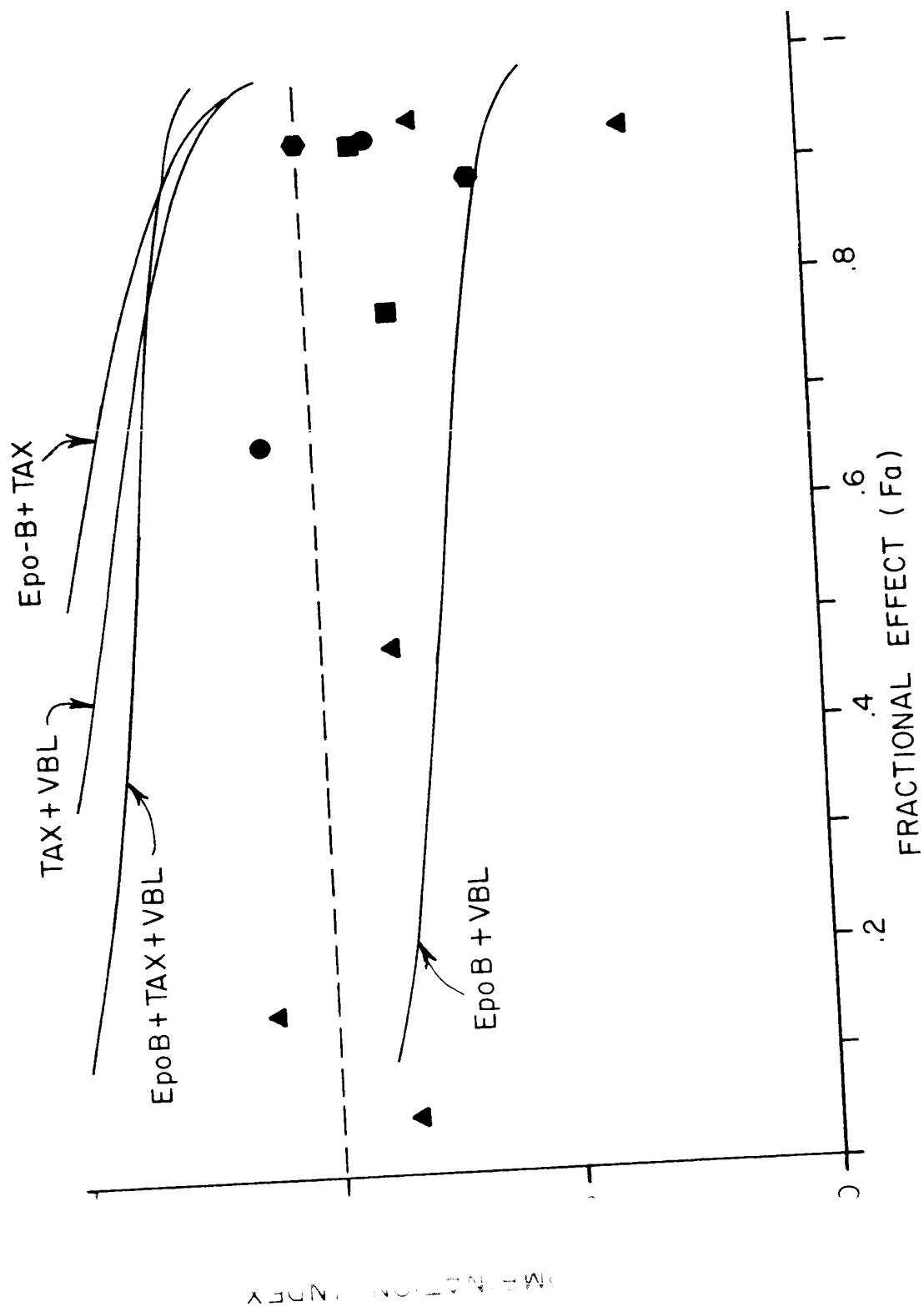
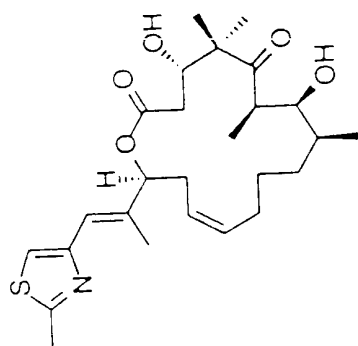
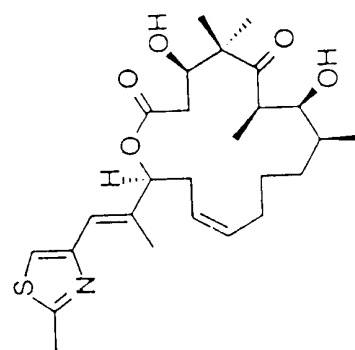


FIG. 39A

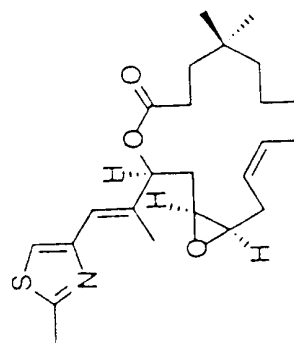


desoxyepothilone A

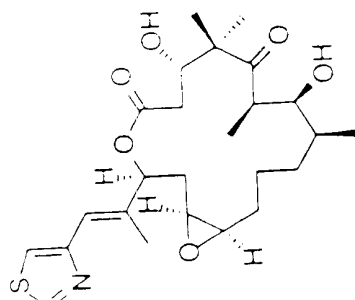
1

(0.022)
[0.012]

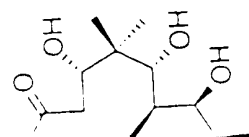
4

(2.12)
[43.0]

3

(271.1)
[22.4]

epothilone A

(0.0027)
[0.020]

2

(4.23)
[0.28]

FIG. 39B

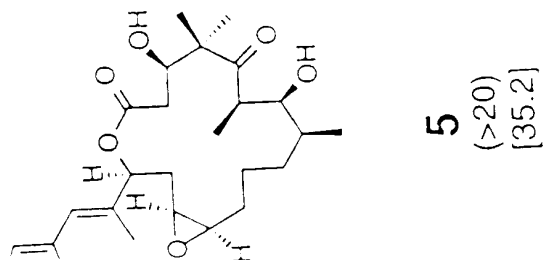
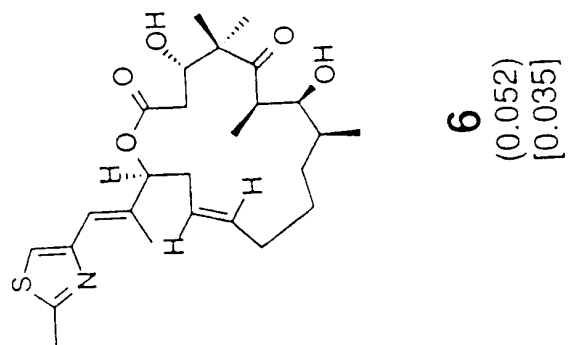
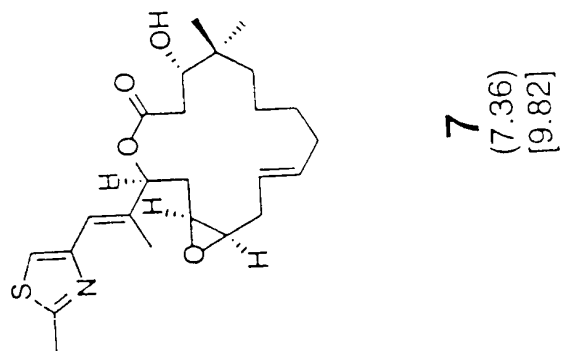
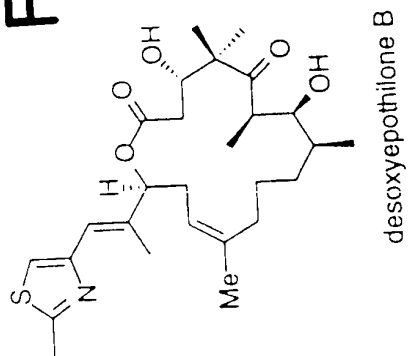
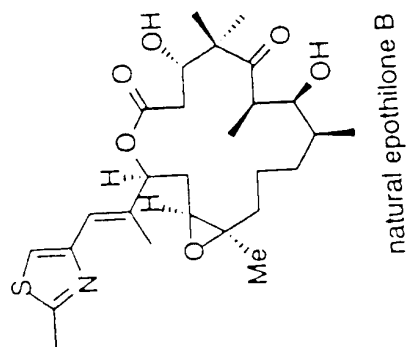


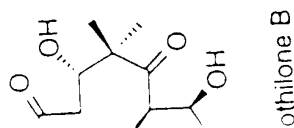
FIG. 40A



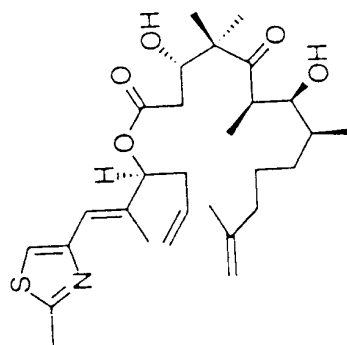
10
(0.0095)
[0.017]



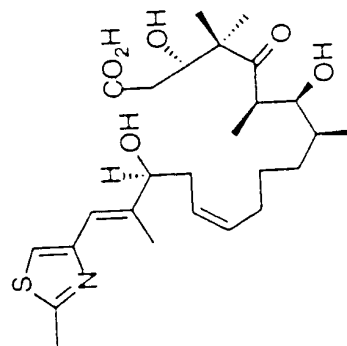
9
(0.00017)
[0.0012]



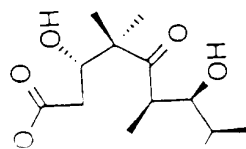
11
(0.044)
[0.26]



(11.53)
[5.63]



(0.79)
[>5]



(0.090)
[0.262]

FIG. 40B

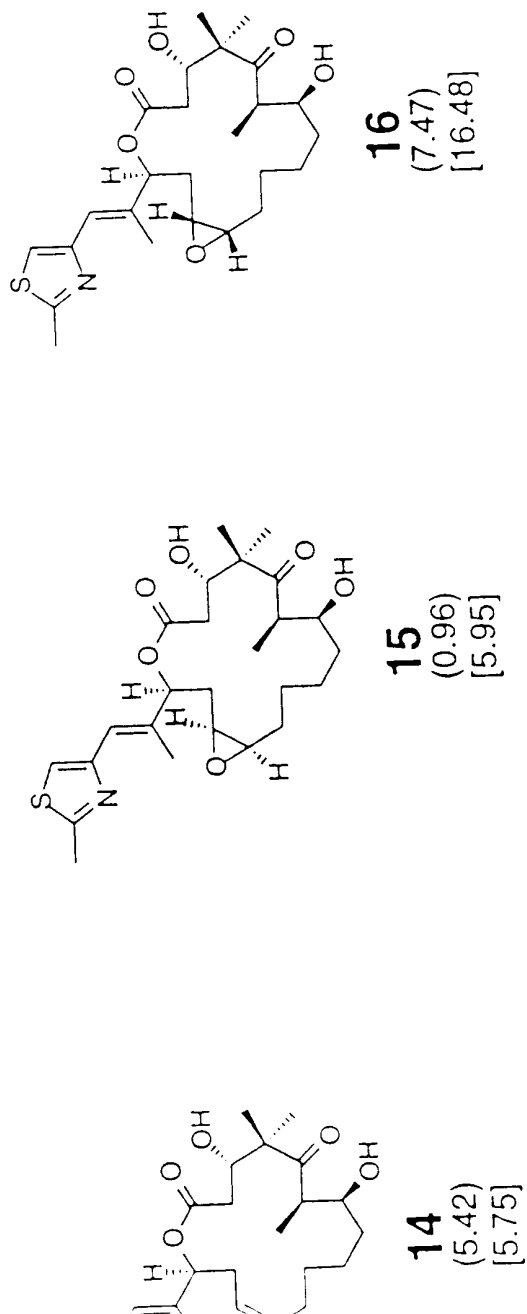
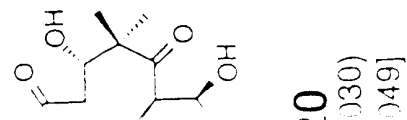
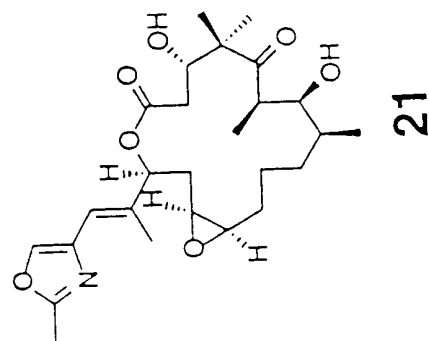
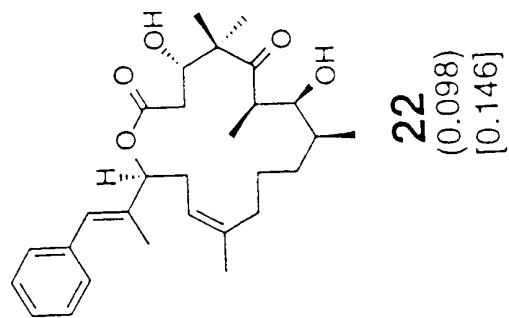
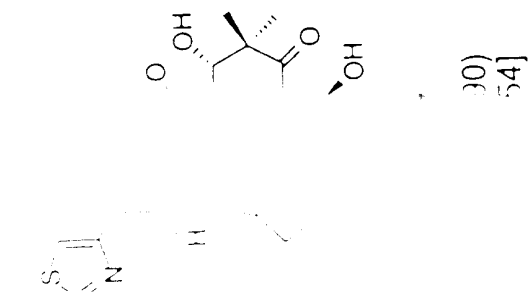
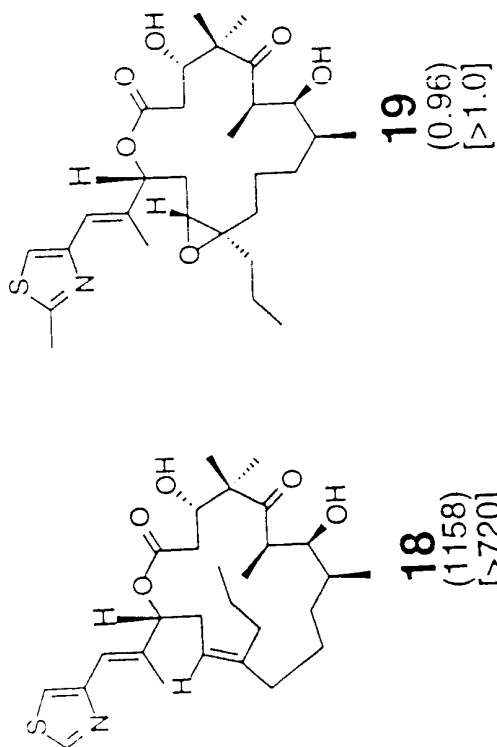


FIG. 41A



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FIG. 41B

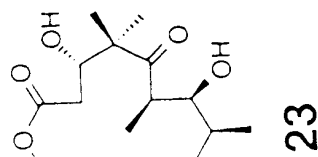
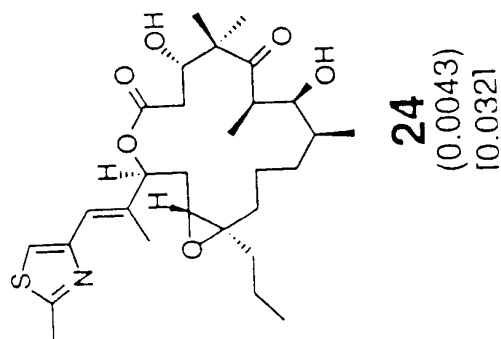
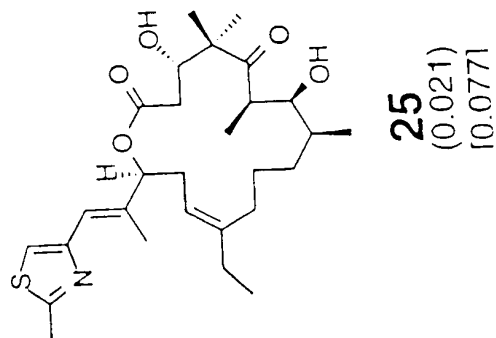
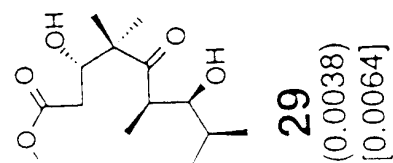
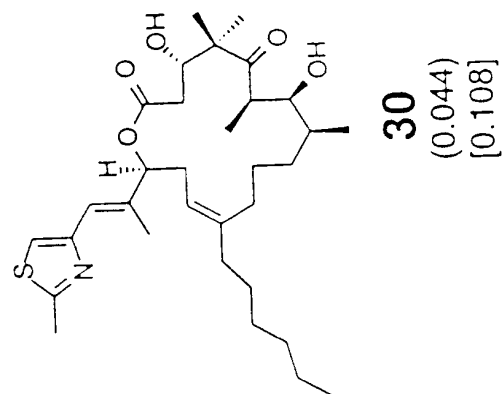
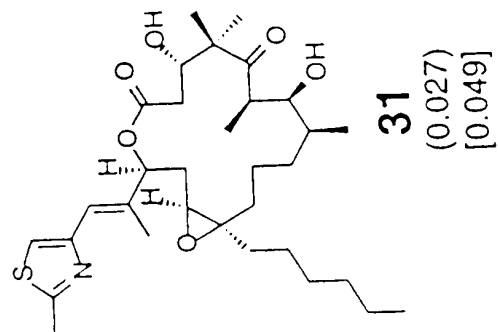
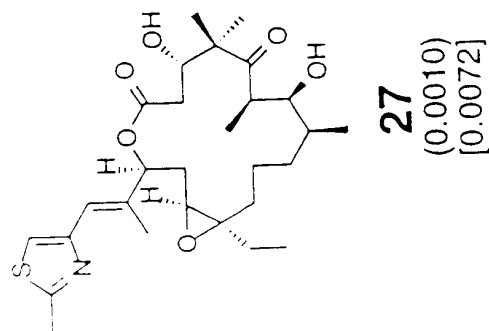
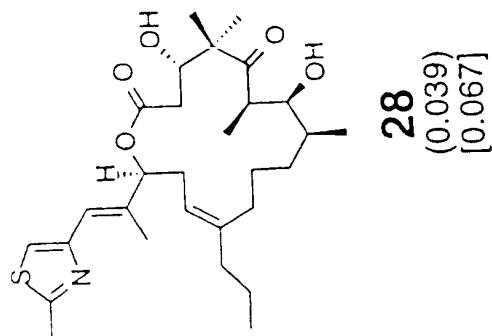


FIG. 42A



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FIG. 42B

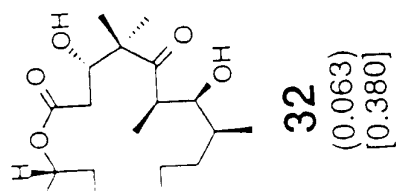
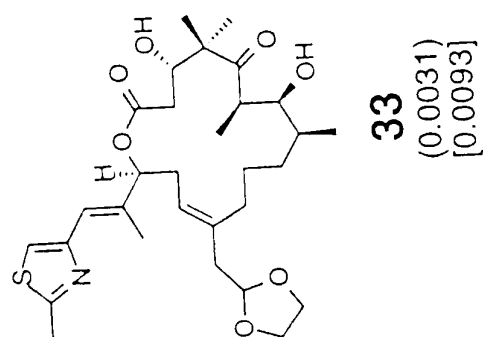
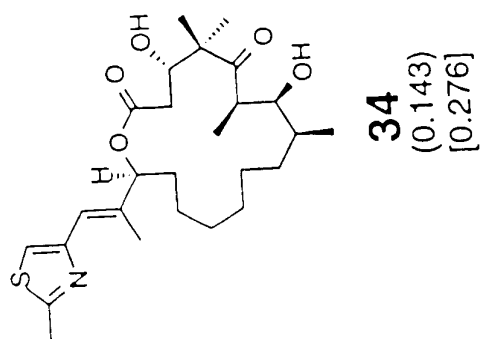
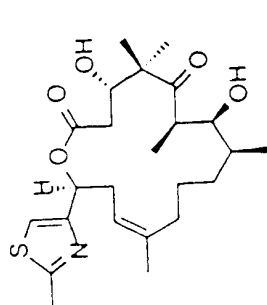
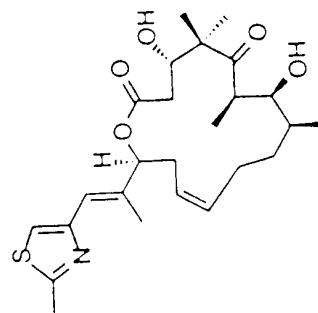


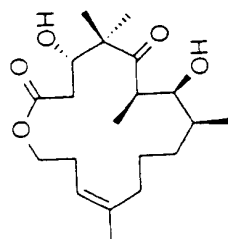
FIG. 42C



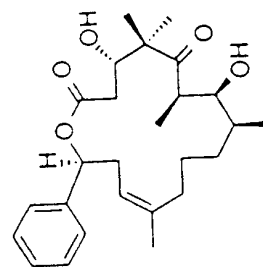
37
(3.25)
[1.20]



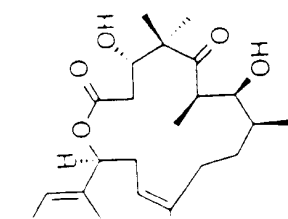
40
(36.9)
[47.3]



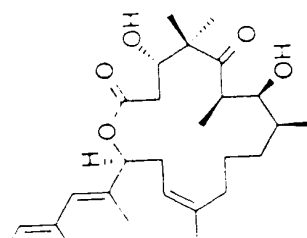
36
(234.5)
[>10]



39
(1.80)
[>5.0]

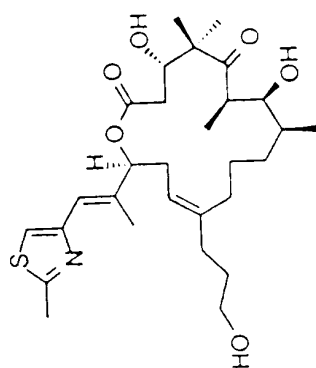


35
(>10)
[8.95]

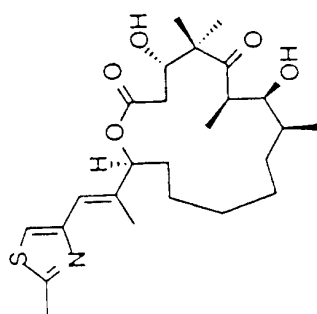


38
(0.254)
[>5.0]

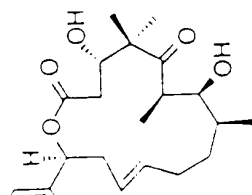
FIG. 42D



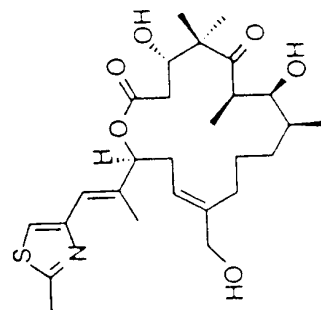
43
(0.0095)
[0.167]



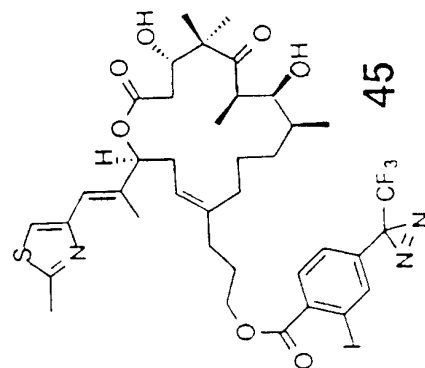
42
(7.41)
[12.9]



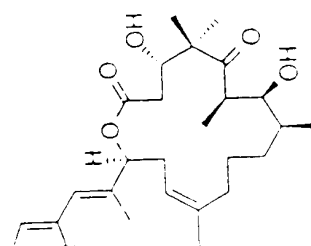
41
(60.1)
[59.2]



46 (0.049)
[>1.0]



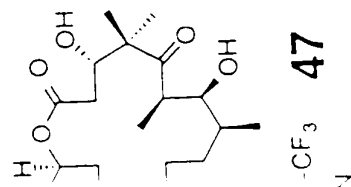
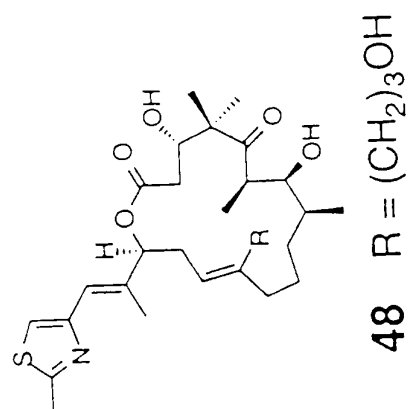
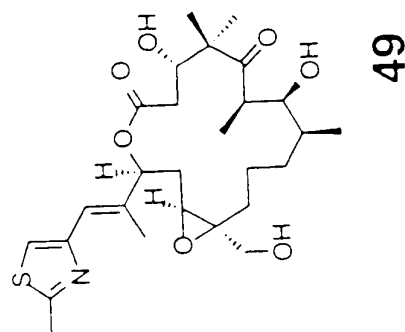
45



44 (0.250)
[0.905]

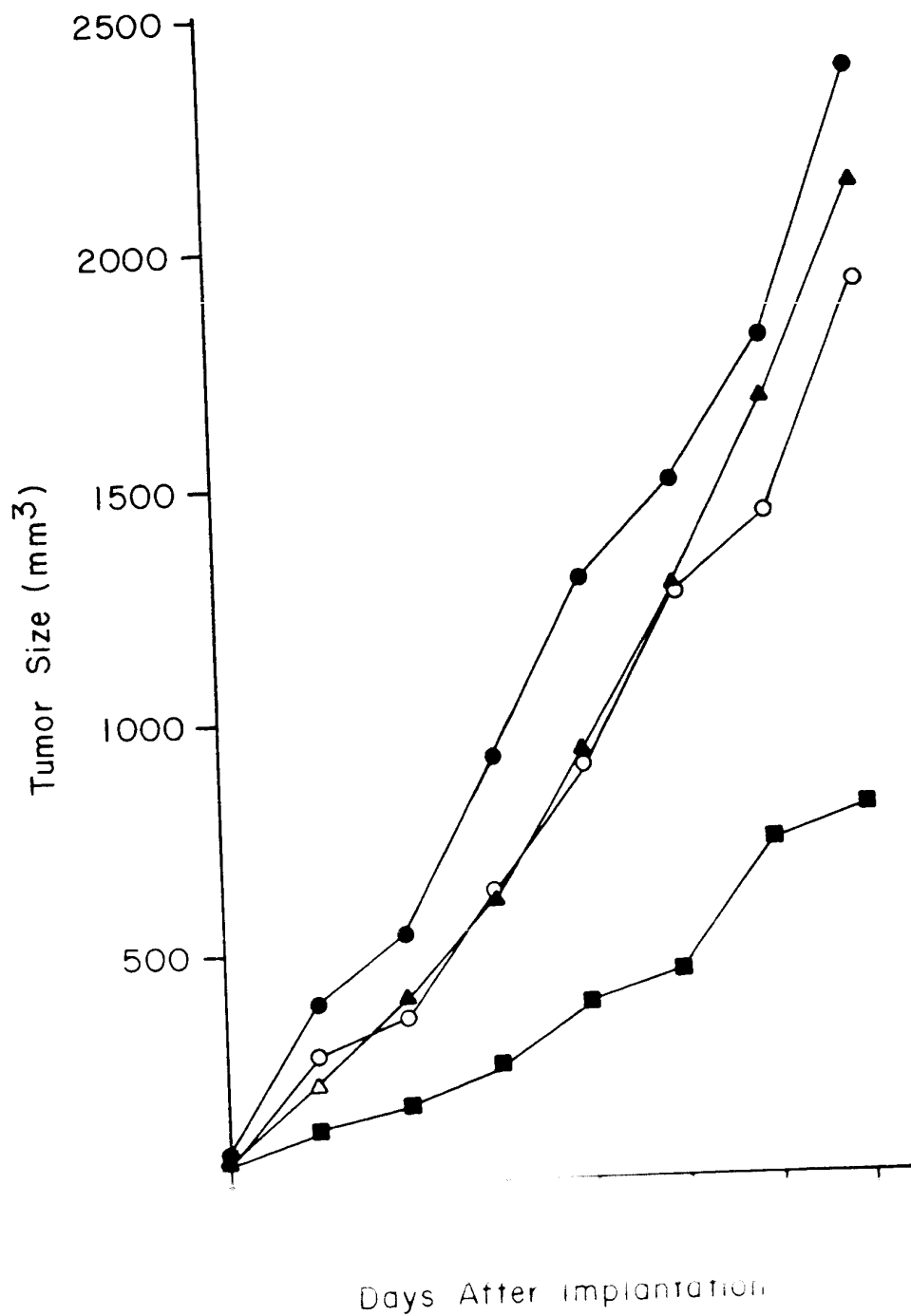
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FIG. 42E



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FIG. 43A



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FIG. 43B

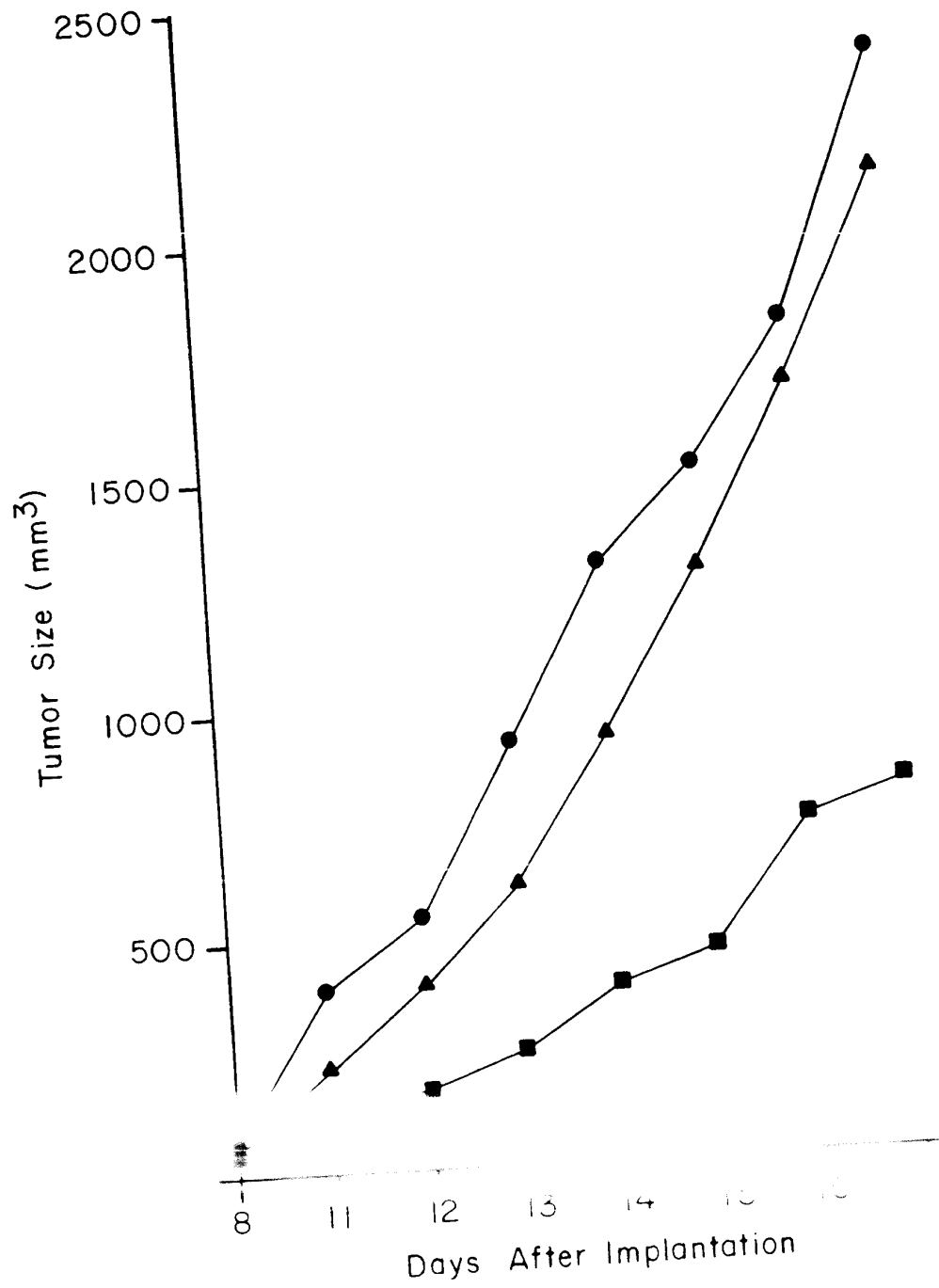
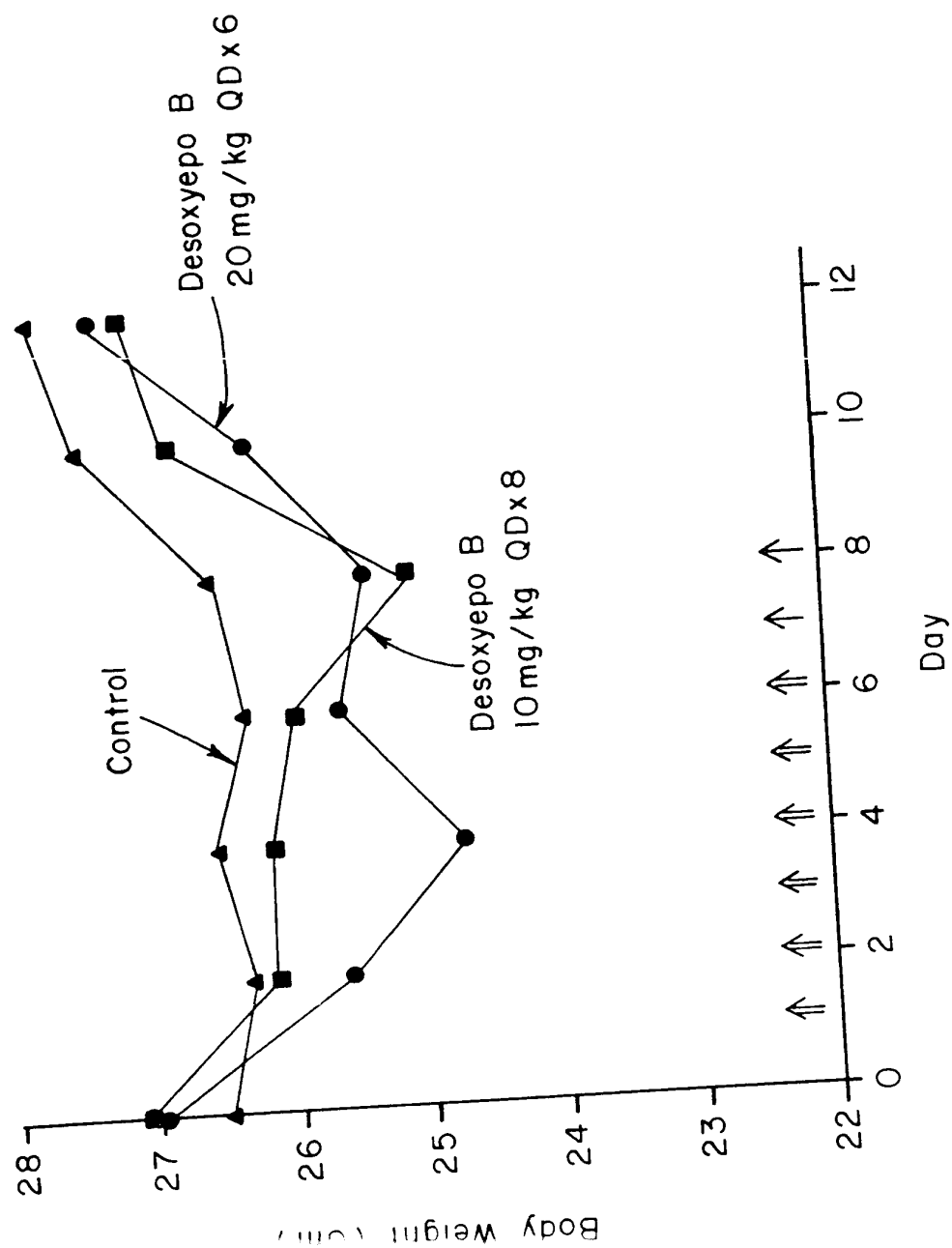
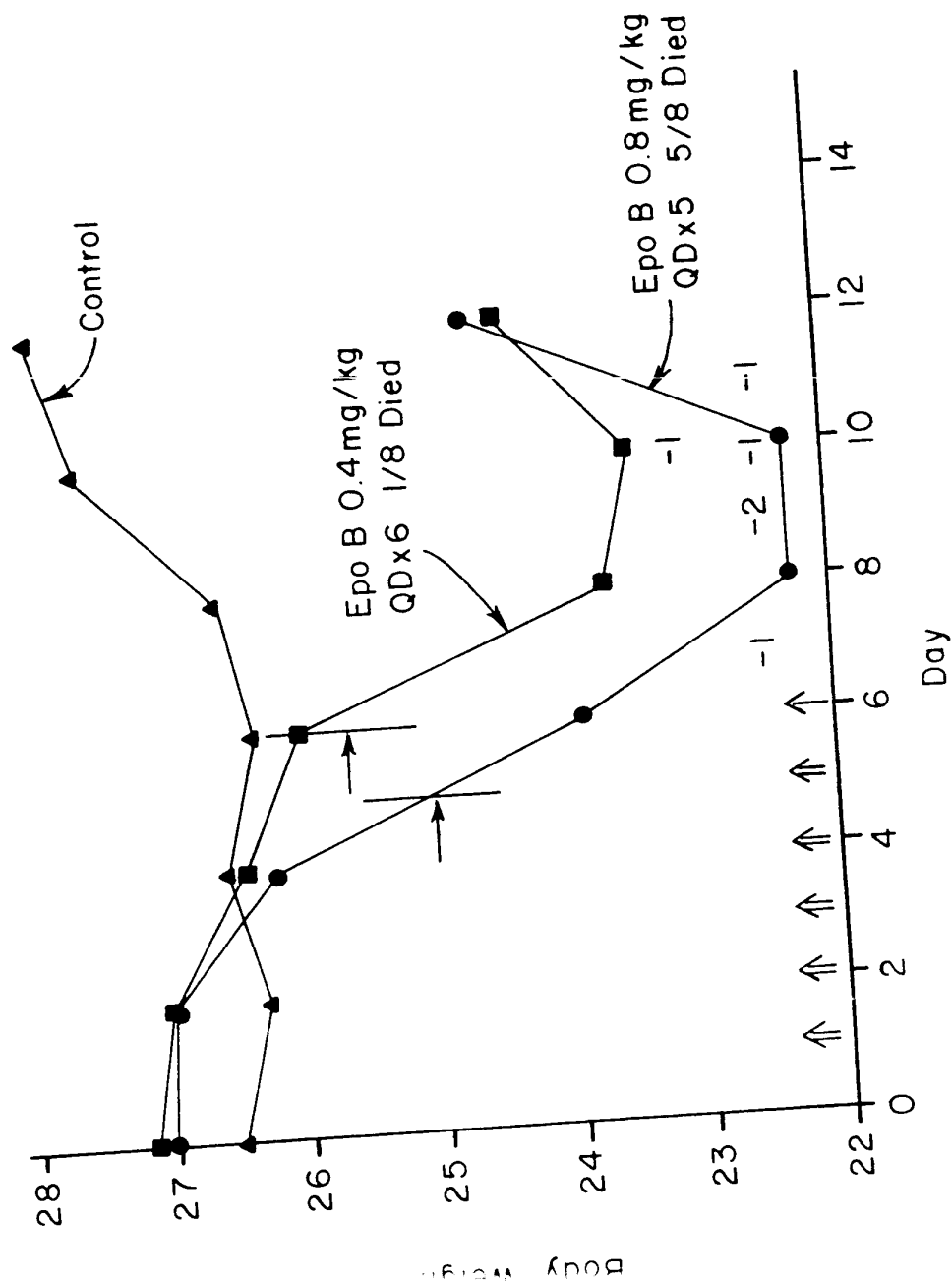


FIG. 44A



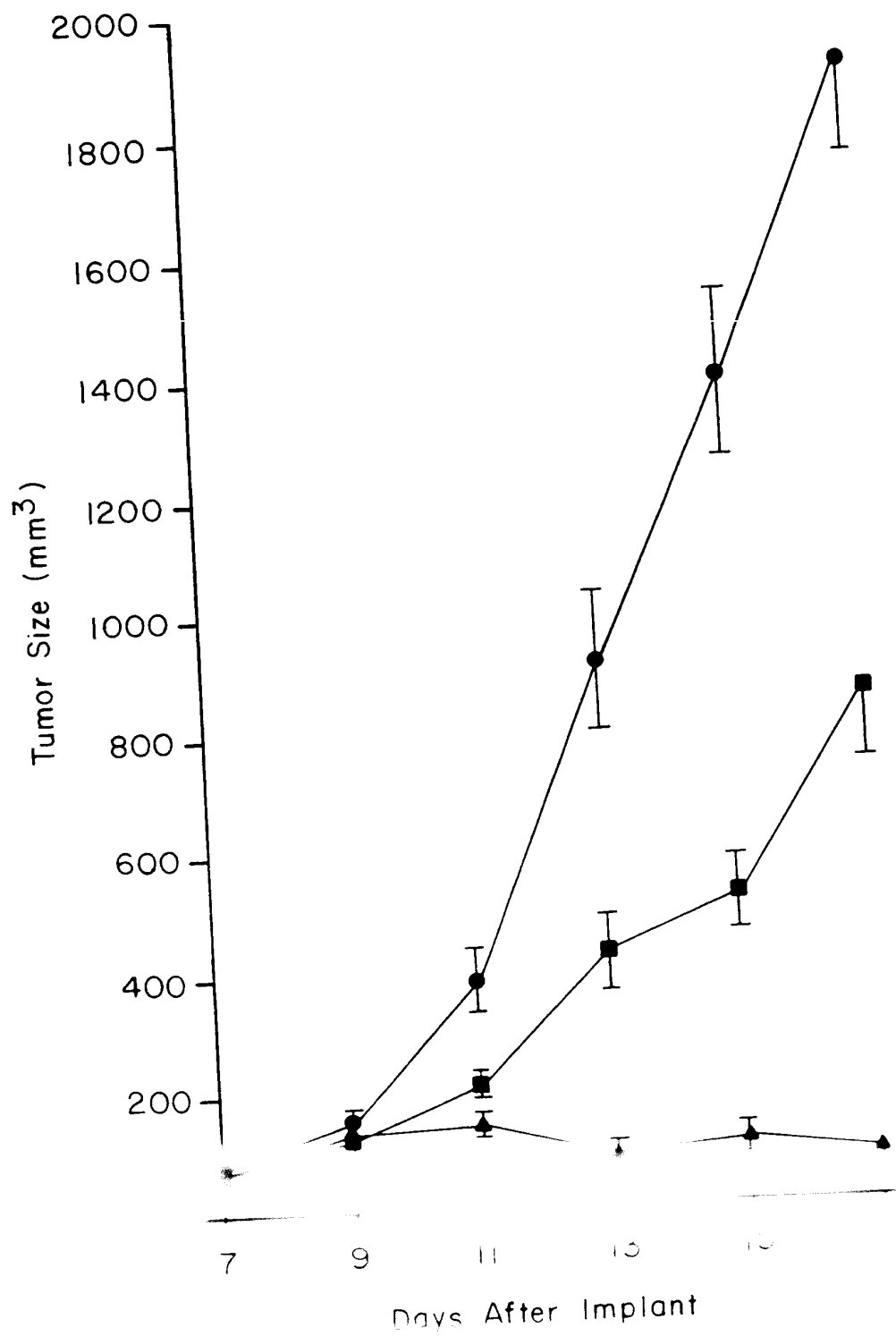
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FIG. 44B



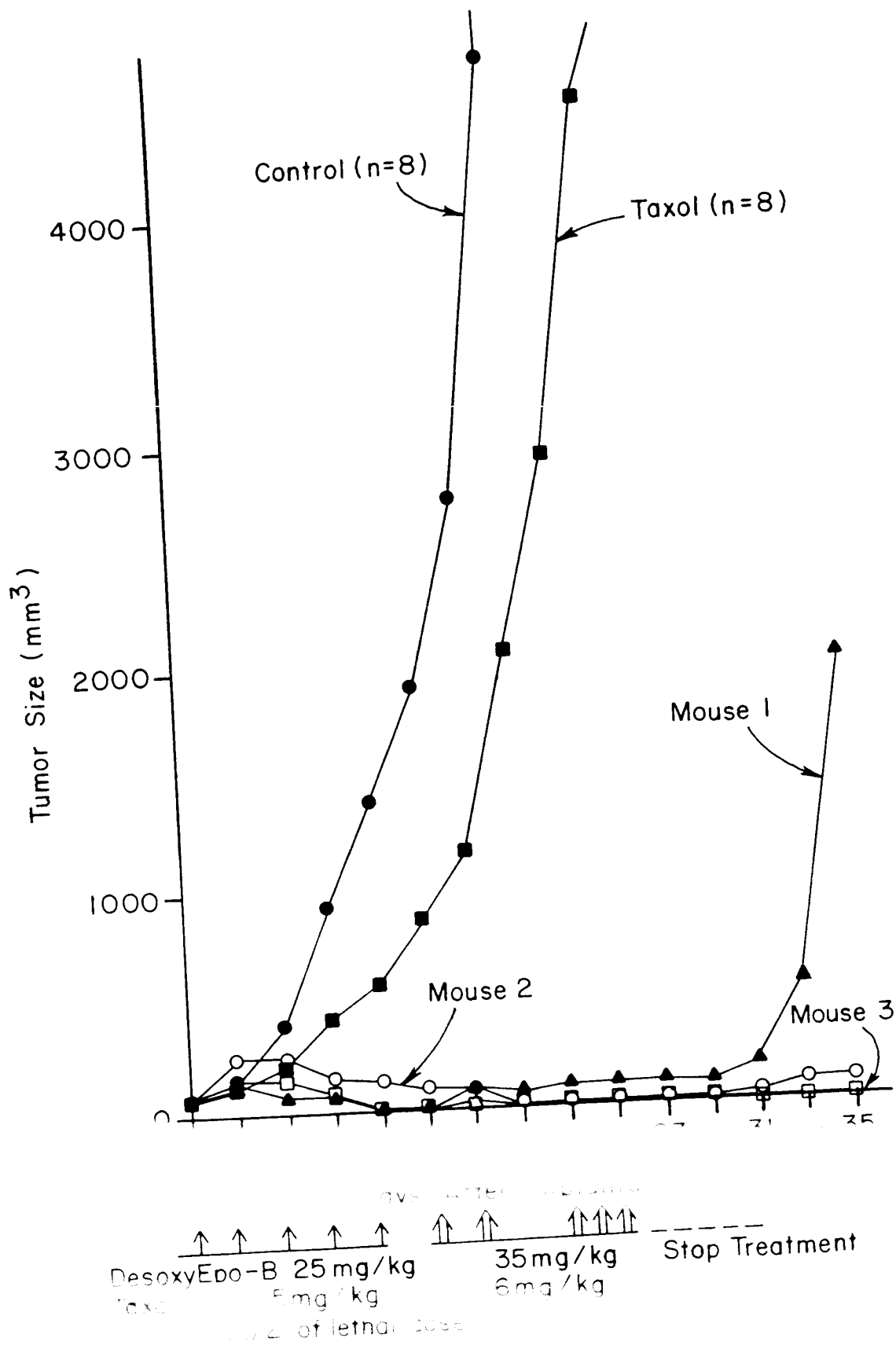
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FIG. 45A



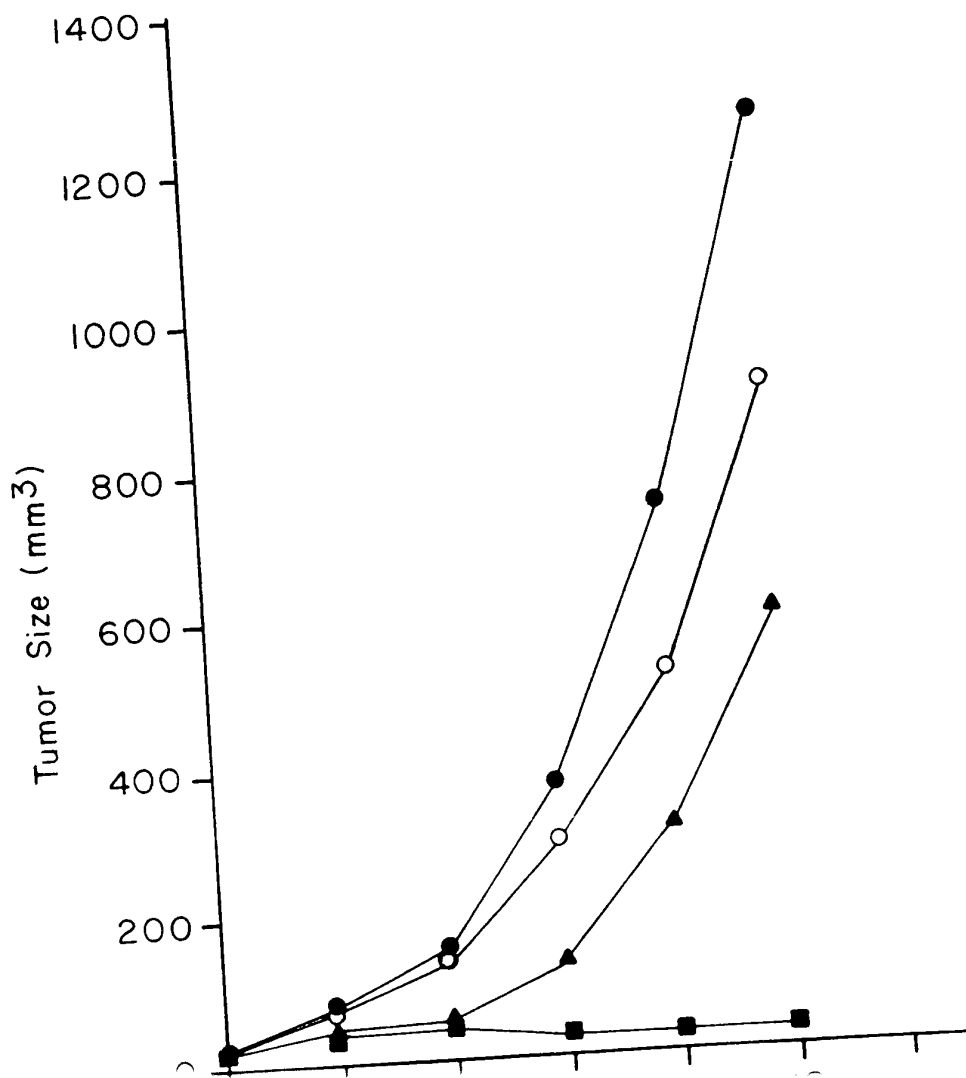
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FIG. 45 B



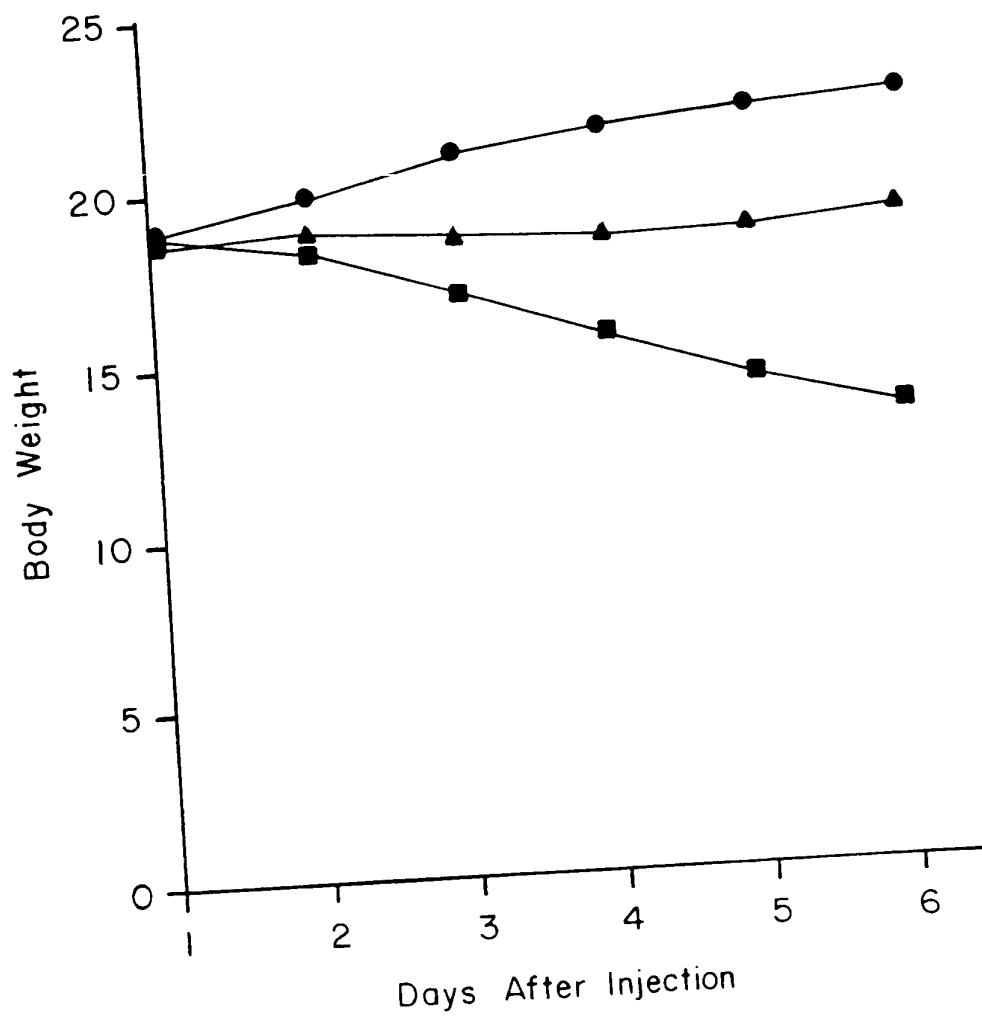
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FIG. 46



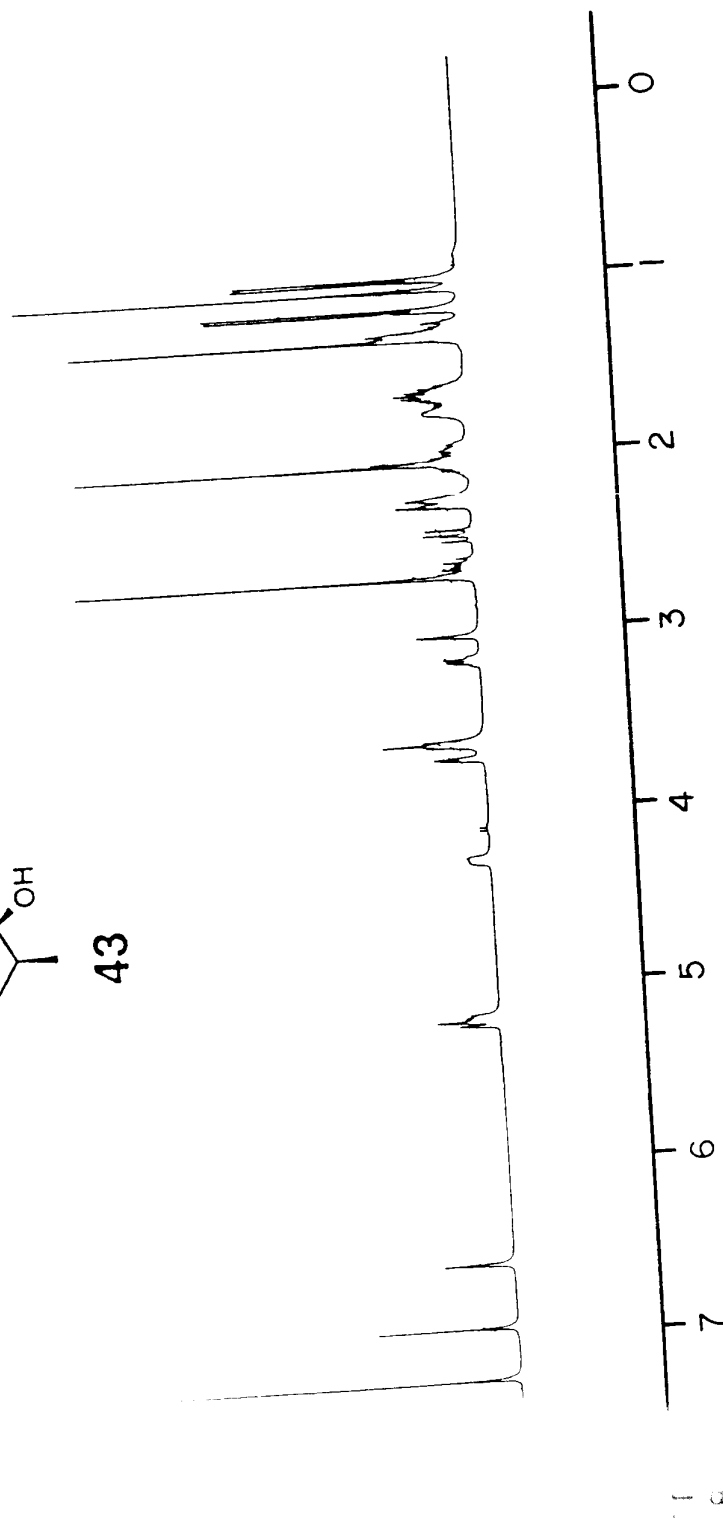
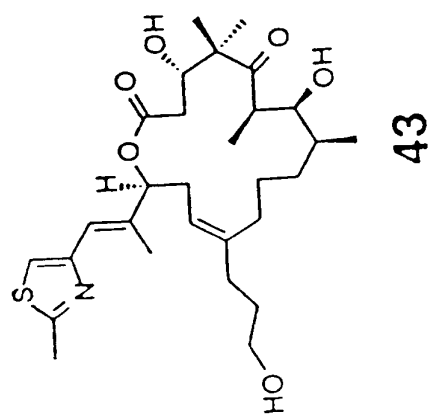
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FIG. 47

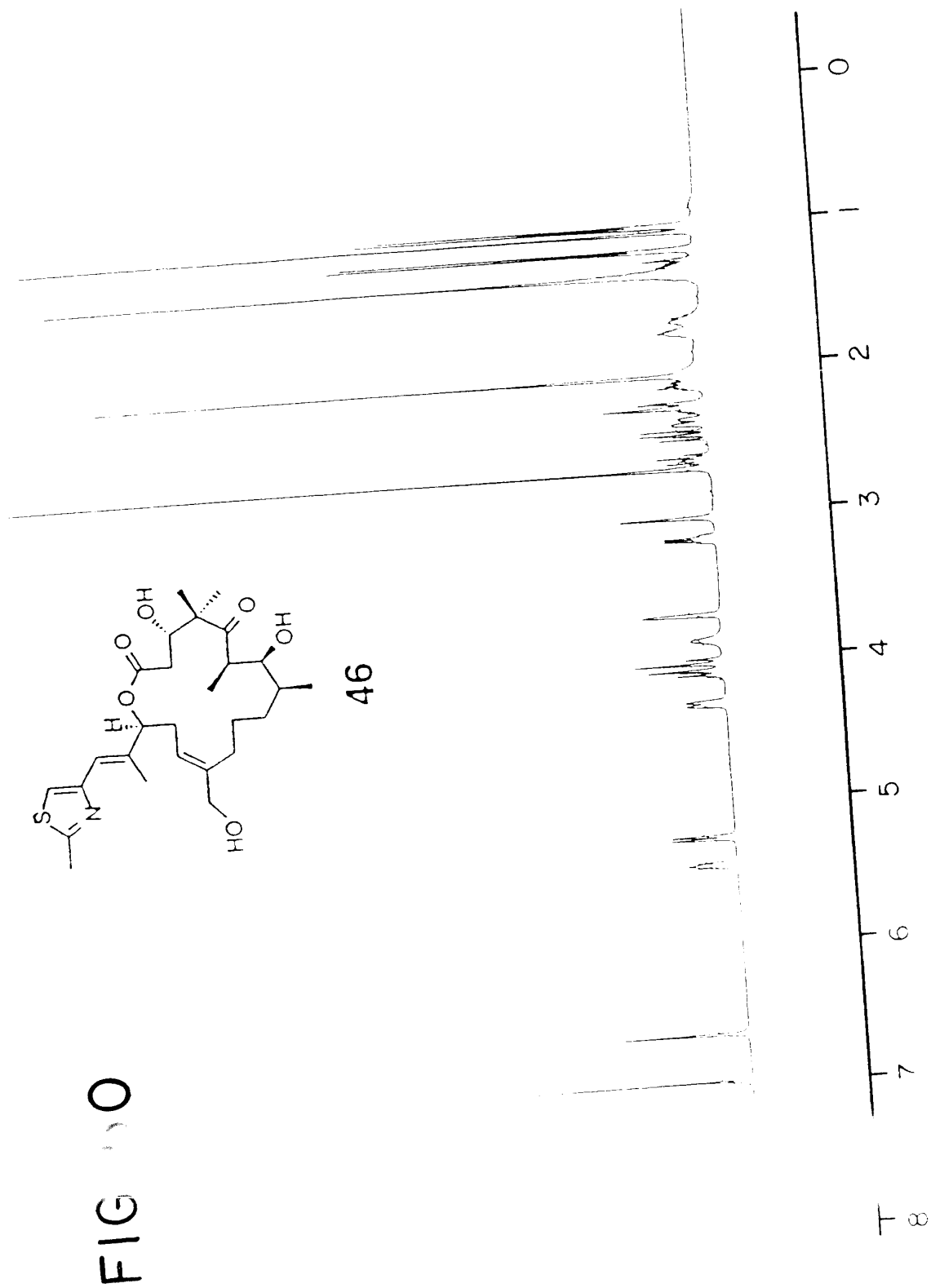


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FIG. 48

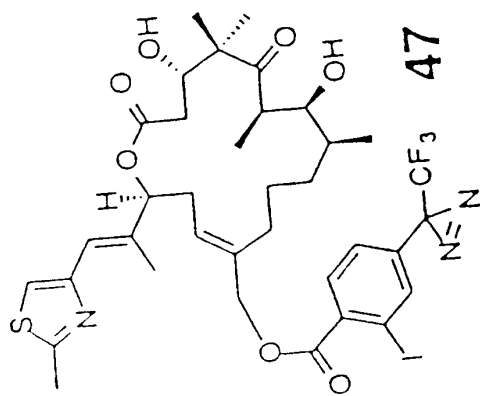


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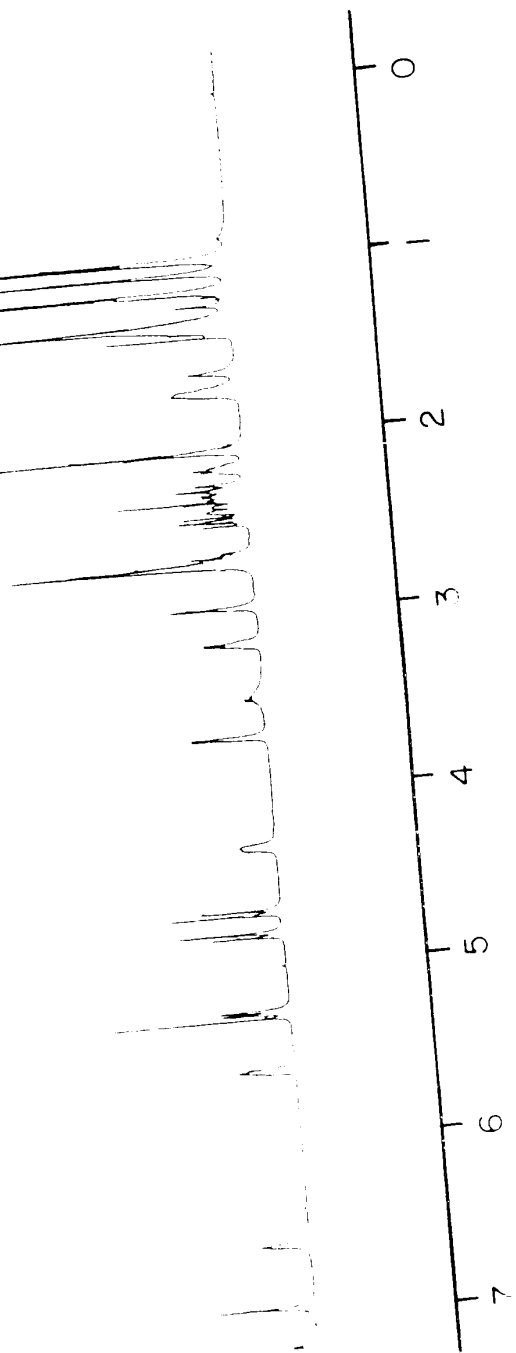


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FIG. 51



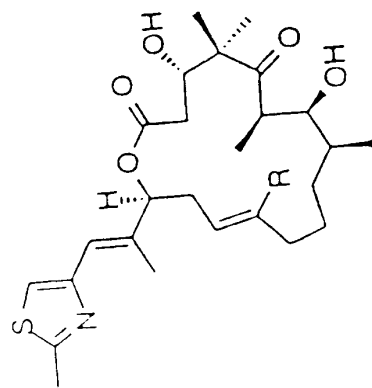
47



Ta

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FIG. 52



48 R = (CH₂)₃OH

